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FILE LAST UPDATED: 23 Mar 2005  (20050323/ED)

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L3   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:308409  HCAPLUS
DN   140:321108
ED   Entered STN:  15 Apr 2004
TI   Preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors
     useful against Alzheimer's disease
IN   Churcher, Ian; Harrison, Timothy; Kerrad, Sonia; Oakley, Paul Joseph;
     Shaw, Duncan Edward; Teall, Martin Richard; Williams, Susannah
PA   Merck Sharp & Dohme Limited, UK
SO   PCT Int. Appl., 78 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  C07C317-14
     ICS  C07C317-18; C07C317-20; C07C317-22; C07C317-24; C07C317-44;
          C07C331-04; C07D205-04; C07D205-06; C07D207-08; C07D207-10;
          C07D207-16; C07D211-38; C07D213-70; C07D213-71; C07D213-89;
          C07D233-84; C07D239-38; C07D249-08; C07D257-04
CC   25-12 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
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Section cross-reference(s): 1

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004031137	A1	20040415	WO 2003-GB4102	20030925 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	US 2004122050	A1	20040624	US 2003-679557	20031006 <--
PRAI	GB 2002-23039	A	20021004 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004031137	ICM	C07C317-14
	ICS	C07C317-18; C07C317-20; C07C317-22; C07C317-24; C07C317-44; C07C331-04; C07D205-04; C07D205-06; C07D207-08; C07D207-10; C07D207-16; C07D211-38; C07D213-70; C07D213-71; C07D213-89; C07D233-84; C07D239-38; C07D249-08; C07D257-04
US 2004122050	ECLA	C07C317/14; C07C317/18; C07C317/20; C07C317/22; C07C317/24; C07C317/44; C07C331/04; C07D205/4 <--

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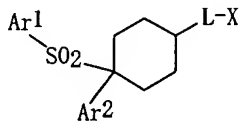
GI



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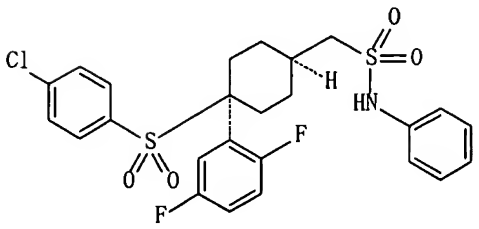

II

AB Aryl cyclohexyl sulfones (shown as I; variables defined below; e.g. II) inhibit the processing of APP by γ-secretase, and hence are useful in treatment of Alzheimer's disease. For I: X = SCN, SR1, S(O)R1, (CRaRb)mSO2R1, SO2N(R2)2, SO2NHCOR1, SO2NHN(R2)2, OSO2N(R2)2, OS(O)N(R2)2, OSO2NHCOR1, COR4, NHCOR1, NHCO2R1, NHCON(R2)2, NHSO2R1 or NHSO2N(R2)2; L = a bond, :CH- or -(CHRa)n- with provisos; n = 1-3; Ar1 and Ar2 = Ph or heteroaryl, either of which bears 0-3 halogen, CN, NO2, CF3, CHF2, OH, OCF3, CHO, CH:NOH, C1-4-alkoxy, C1-4-alkoxycarbonyl, C2-6-acyl, C2-6-alkenyl, and C1-4-alkyl; Ra = H, alkyl; Rb = H, alkyl, CO2H, alkoxycarbonyl, alkylsulfonyl; R1 = CF3, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl(alkyl), heterocyclyl(alkyl); R2 = H, (substituted) alkoxy, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl; R3 = H, alkyl, Ph, heteroaryl; R4 = CRaRbSO2R1, pyridine N-oxide, substituted Ph, heteroaryl; addnl. details are given in the claims. Although the methods of preparation are not claimed, example prepns. and/or characterization data are included for <180 examples of I and some intermediates. For example, II was prepared from excess aniline and [cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanesulfonyl chloride, which was prepared

from SO2Cl2, KNO3 and [cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanethiol, which was prepared from in 2 steps from iodo[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methane, which was prepared photochem. from [cis-4-(4-Chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid, iodoisobenzene diacetate and I2. The examples all had an ED50 against γ-secretase of <1 μM, typically <0.5 μM, in most cases <100 nM, and in preferred cases <10 nM.

ST aryl cyclohexyl sulfone prepn gamma secretase inhibitor anti Alzheimer's

IT Sulfones
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl, drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT Alzheimer's disease
Anti-Alzheimer's agents
Human
(preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT Aromatic compounds
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfones, drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β-, disorders associated with deposition of; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 679431-34-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(R)-2-(methoxycarbonyl)pyrrolidin-1-yl]sulfonyl]methyl]cyclohexane 679431-35-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(S)-2-(methoxycarbonyl)pyrrolidin-1-yl]sulfonyl]methyl]cyclohexane 679431-36-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[[(tert-butoxycarbonyl)methyl]amino]sulfonyl]methyl]cyclohexane 679431-44-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(carboxymethyl)amino]sulfonyl]methyl]cyclohexane 679431-46-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-acetoxyazetidin-1-yl)sulfonyl]methyl]cyclohexane 679431-47-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxyazetidin-1-yl)sulfonyl]methyl]cyclohexane 679431-48-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-oxoazetidin-1-yl)sulfonyl]methyl]cyclohexane 679431-53-9P, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfanyl]pyridine 679431-54-0P, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]pyridine 679431-83-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane 679431-95-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(ethoxycarbonyl)methyl]sulfanyl]methyl]cyclohexane 679432-11-2P, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl thiocyanate 679432-16-7P, 1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(methanesulfonyl)methylene]cyclohexane 679432-27-0P, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethane sulfonamide 679432-31-6P, 4-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-(methanesulfonyl)butyric acid ethyl ester 679432-33-8P, 4-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-ethyl-2-(methanesulfonyl)butyric acid ethyl ester 679432-34-9P, 4-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-ethyl-2-(methanesulfonyl)butyric acid 679432-36-1P, Acetic acid 2-[[[[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]oxy]sulfonyl]amino]ethyl ester 679432-40-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(aminosulfonyl)oxy]cyclohexane 679432-49-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[3-(allyloxy)benzoyl]methyl]cyclohexane 679432-50-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[2-[(methoxycarbonyl)methoxy]benzoyl]methyl]cyclohexane 679432-52-1P,

cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[3-(1,3-dioxolan-2-yl)benzoyl]methyl]cyclohexane 679432-53-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(3-formylbenzoyl)methyl]cyclohexane 679432-55-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(2-formylbenzoyl)methyl]cyclohexane 679432-58-7P, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-3-(methanesulfonyl)propan-2-one 679432-59-8P, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-3-methanesulfonyl-3-methylbutan-2-one 679432-63-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[5-(hydroxymethyl)furan-2-yl]carbonyl]methyl]cyclohexane 679432-64-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-formylfuran-2-yl)carbonyl]methyl]cyclohexane 679432-67-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(hydroxymethyl)furan-2-yl]carbonyl]methyl]cyclohexane 679432-68-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-formylfuran-2-yl)carbonyl]methyl]cyclohexane 679432-70-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-[2-(ethoxycarbonyl)ethenyl]furan-2-yl]carbonyl]methyl]cyclohexane 679432-71-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-[2-(ethoxycarbonyl)ethyl]furan-2-yl]carbonyl]methyl]cyclohexane 679432-81-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[[(S)-2-(methoxycarbonyl)pyrrolidin-1-yl]sulfonyl]amino]methyl]cyclohexane 679432-96-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(R)-3-[(tert-butoxy)carbonyl]pyrrolidin-1-yl]sulfonyl]amino]cyclohexane 679433-00-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxyazetidin-1-yl)sulfonyl]amino]cyclohexane
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 679431-17-5P, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-N-phenylmethanesulfonamide 679431-18-6P, 1-[cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]methanesulfonamide 679431-19-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-(sulfamoylmethyl)cyclohexane 679431-20-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclobutylamino)sulfonyl]methyl]cyclohexane 679431-21-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(piperidin-1-yl)sulfonyl]methyl]cyclohexane 679431-22-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-trifluoromethylpyrrolidin-1-yl)sulfonyl]methyl]cyclohexane 679431-23-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3-difluoropyrrolidin-1-yl)sulfonyl]methyl]cyclohexane 679431-24-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((R)-3-fluoropyrrolidin-1-yl)sulfonyl]methyl]cyclohexane 679431-25-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((S)-3-fluoropyrrolidin-1-yl)sulfonyl]methyl]cyclohexane 679431-26-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-aza-2-oxabicyclo[2.2.1]hept-5-yl)sulfonyl]methyl]cyclohexane 679431-27-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4,4-difluoropiperidin-1-yl)sulfonyl]methyl]cyclohexane 679431-28-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(N-methoxy-N-methylamino)sulfonyl]methyl]cyclohexane 679431-29-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(morpholin-4-yl)sulfonyl]methyl]cyclohexane 679431-30-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrrolidin-1-yl)sulfonyl]methyl]cyclohexane 679431-31-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(azetidin-1-yl)sulfonyl]methyl]cyclohexane 679431-32-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(tert-butylamino)sulfonyl]methyl]cyclohexane 679431-33-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,2,2-trifluoroethylamino)sulfonyl]methyl]cyclohexane 679431-38-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(hydrazinyl)sulfonyl]methyl]cyclohexane 679431-39-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(dimethylamino)sulfonyl]methyl]cyclohexane 679431-40-4P,

cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(isopropylamino)sulfonyl]methyl]cyclohexane 679431-41-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3-difluoroazetidin-1-yl)sulfonyl]methyl]cyclohexane 679431-42-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(R)-2-carboxypyrrolidin-1-yl)sulfonyl]methyl]cyclohexane 679431-43-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(S)-2-carboxypyrrolidin-1-yl)sulfonyl]methyl]cyclohexane 679431-45-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(acetylamino)sulfonyl]methyl]cyclohexane 679431-49-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxy-3-methylazetidin-1-yl)sulfonyl]methyl]cyclohexane 679431-50-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(methanesulfonyloxy)azetidin-1-yl]sulfonyl]methyl]cyclohexane 679431-55-1P, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfinyl]pyridine 679431-56-2P, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]pyridine N-oxide 679431-57-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(propan-2-yl)sulfonyl]methyl]cyclohexane 679431-58-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,2,2-trifluoroethyl)sulfonyl]methyl]cyclohexane 679431-59-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(propyl)sulfonyl]methyl]cyclohexane 679431-60-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(isobutyl)sulfonyl]methyl]cyclohexane 679431-61-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyridin-4-yl)sulfonyl]methyl]cyclohexane 679431-62-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrimidin-2-yl)sulfonyl]methyl]cyclohexane 679431-63-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-methylthiazol-2-yl)sulfonyl]methyl]cyclohexane 679431-64-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]cyclohexane 679431-65-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methylfuran-3-yl)sulfonyl]methyl]cyclohexane 679431-66-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(acetylmethyl)sulfonyl]methyl]cyclohexane 679431-67-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(furan-2-yl)methyl]sulfonyl]methyl]cyclohexane 679431-68-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[1-(thien-2-yl)ethyl]sulfonyl]methyl]cyclohexane 679431-69-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(benzyl)sulfonyl]methyl]cyclohexane 679431-70-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclopentyl)sulfonyl]methyl]cyclohexane 679431-71-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(thiazol-2-yl)sulfonyl]methyl]cyclohexane 679431-72-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclohexyl)sulfonyl]methyl]cyclohexane 679431-73-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(thien-2-yl)sulfonyl]methyl]cyclohexane 679431-74-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-imidazol-2-yl)sulfonyl]methyl]cyclohexane 679431-75-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-methyl-4H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane 679431-77-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(tert-butyl)sulfonyl]methyl]cyclohexane 679431-79-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-hydroxyethyl)sulfonyl]methyl]cyclohexane 679431-80-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1H-imidazol-2-yl)sulfonyl]methyl]cyclohexane 679431-82-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-tetrazol-5-yl)sulfonyl]methyl]cyclohexane 679431-84-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-tetrazol-5-yl)sulfinyl]methyl]cyclohexane 679431-85-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-hydroxy-2-methylpropyl)sulfonyl]methyl]cyclohexane 679431-87-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methoxyethyl)sulfonyl]methyl]cyclohexane 679431-89-1P,

cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclopropyl)sulfonyl]methyl]cyclohexane 679431-91-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane 679431-92-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methyl-2H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane 679431-94-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclobutyl)sulfonyl]methyl]cyclohexane 679431-96-0P, cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyridin-2-yl)sulfanyl]methyl]cyclohexane 679431-97-1P, cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrimidin-2-yl)sulfanyl]methyl]cyclohexane 679431-98-2P, cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyridin-2-yl)sulfonyl]methyl]cyclohexane 679431-99-3P, cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrimidin-2-yl)sulfonyl]methyl]cyclohexane 679432-00-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(ethyl)sulfonyl]methyl]cyclohexane 679432-01-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[2-(trifluoromethoxy)phenyl]sulfonyl]methyl]cyclohexane 679432-02-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methoxyphenyl)sulfonyl]methyl]cyclohexane 679432-03-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-fluorophenyl)sulfonyl]methyl]cyclohexane 679432-04-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-fluorophenyl)sulfonyl]methyl]cyclohexane 679432-05-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,4-difluorophenyl)sulfonyl]methyl]cyclohexane 679432-06-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-hydroxyphenyl)sulfonyl]methyl]cyclohexane 679432-07-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxyphenyl)sulfonyl]methyl]cyclohexane 679432-08-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-hydroxyphenyl)sulfonyl]methyl]cyclohexane 679432-09-8P, cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(methanesulfonyl)methyl]cyclohexane 679432-10-1P, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]acetamide 679432-12-3P, [[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl] trifluoromethyl sulfone 679432-14-5P, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]furan 679432-18-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(methanesulfonyl)methyl]cyclohexane 679432-19-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(phenylsulfonyl)methyl]cyclohexane 679432-20-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyanomethyl)sulfonyl]methyl]cyclohexane 679432-21-4P, cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-(2-propylsulfonylethyl)cyclohexane 679432-22-5P, cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-(isopropylsulfonyl)ethyl]cyclohexane 679432-23-6P, cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-[(pyridin-2-yl)sulfonyl]ethyl]cyclohexane 679432-24-7P, cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-[(pyrimidin-2-yl)sulfonyl]ethyl]cyclohexane 679432-25-8P, cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-[(2-chlorophenyl)sulfonyl]ethyl]cyclohexane 679432-26-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[2-(methylsulfonyl)ethyl]cyclohexane 679432-28-1P, N-Acetyl-2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethanesulfonamide 679432-29-2P, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethane sulfonic acid tert-butylamide 679432-32-7P, 3-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-(methanesulfonyl)propionic acid ethyl ester 679432-35-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[3-(methylsulfonyl)pentyl]cyclohexane 679432-38-3P, (2-Hydroxyethyl)sulfamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester 679432-39-4P, Sulfinamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester 679432-41-8P, cis-1-[[4-(Trifluoromethyl)phenyl]sulfonyl]-1-(2,5-difluorophenyl)-4-[(aminosulfonyl)oxy]cyclohexane 679432-42-9P,

cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(ethylamino)sulfonyl]oxy]cyclohexane 679432-43-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(2,2,2-trifluoroethyl)amino]sulfonyl]oxy]cyclohexane 679432-44-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(tert-butyl)amino]sulfonyl]oxy]cyclohexane 679432-45-2P, Dimethylsulfamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester 679432-46-3P, Acetylsulfamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester 679432-47-4P, Sulfamic acid cis-4-(2,5-difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl ester 679432-48-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,2-difluorobenzodioxol-4-yl)carbonyl]methyl]cyclohexane 679432-51-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[2-(carboxymethoxy)benzoyl]methyl]cyclohexane 679432-54-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(3-carboxybenzoyl)methyl]cyclohexane 679432-56-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(2-carboxybenzoyl)methyl]cyclohexane 679432-57-6P, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-1-[3-[2-(1,1-dioxo-4-thiomorpholinyl)ethoxy]phenyl]ethanone 679432-60-1P, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-3-(methanesulfonyl)butan-2-one 679432-61-2P, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-1-(1,1-dioxotetrahydrothiophen-2-yl)ethanone 679432-62-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-oxopyridin-2-yl)carbonyl]methyl]cyclohexane 679432-65-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-carboxyfuran-2-yl)carbonyl]methyl]cyclohexane 679432-66-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[5-[2-(ethoxycarbonyl)ethenyl]furan-2-yl]carbonyl]methyl]cyclohexane 679432-69-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-carboxyfuran-2-yl)carbonyl]methyl]cyclohexane 679432-72-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(2-carboxyethyl)furan-2-yl]carbonyl]methyl]cyclohexane 679432-73-6P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[5-(2-carboxyethyl)furan-2-yl]carbonyl]methyl]cyclohexane 679432-74-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-[(morpholin-4-yl)methyl]furan-2-yl]carbonyl]methyl]cyclohexane 679432-75-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(acetylamino)methyl]cyclohexane 679432-76-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(methoxycarbonyl)amino]methyl]cyclohexane 679432-77-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3,3-trifluoropropanoyl)amino]methyl]cyclohexane 679432-78-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3,3-trifluoro-2-hydroxypropanoyl)amino]methyl]cyclohexane 679432-79-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(pyrrolidin-1-yl)sulfonyl]amino]methyl]cyclohexane 679432-80-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(ethylamino)sulfonyl]amino]methyl]cyclohexane 679432-82-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[((S)-2-carboxypyrrolidin-1-yl)sulfonyl]amino]methyl]cyclohexane 679432-83-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(methylamino)carbonyl]amino]methyl]cyclohexane 679432-84-9P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(aminocarbonyl)amino]methyl]cyclohexane 679432-85-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(dimethylamino)carbonyl]amino]methyl]cyclohexane 679432-86-1P, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]sulfamic amide 679432-87-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(dimethylamino)sulfonyl]amino]cyclohexane 679432-88-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(ethylsulfonyl)amino]amino]cyclohexane 679432-89-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(2,2,2-trifluoroethyl)sulfonyl]amino]amino]cyclohexane 679432-90-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(tert-butyl)sulfonyl]amino]amino]cyclohexane 679432-91-8P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrrolidin-1-yl)sulfonyl]amino]cyclohexane 679432-92-9P, cis-1-(4-

Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(azetidin-1-yl)sulfonyl]amino]cyclohexane 679432-93-0P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3-difluoroazetidin-1-yl)sulfonyl]amino]cyclohexane 679432-94-1P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-trifluoromethylpiperidin-1-yl)sulfonyl]amino]cyclohexane 679432-95-2P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(morpholin-4-yl)sulfonyl]amino]cyclohexane 679432-97-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((R)-3-hydroxypyrrolidin-1-yl)sulfonyl]amino]cyclohexane 679432-98-5P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-oxopyrrolidin-1-yl)sulfonyl]amino]cyclohexane 679432-99-6P 679433-01-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxy-3-methylazetidin-1-yl)sulfonyl]amino]cyclohexane 679433-02-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(dimethylamino)azetidin-1-yl]sulfonyl]amino]cyclohexane 679433-12-6P, Pyrrolidine-1-sulfonic acid [cis-4-(2,5-difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amide 679433-14-8P, Pyrrolidine-1-sulfonic acid [cis-4-(2,5-difluorophenyl)-4-(6-trifluoromethylpyridyl-3-sulfonyl)cyclohexyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 338454-52-7, γ-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 60-56-0, 1-Methyl-1H-imidazole-2-thiol 62-53-3, Aniline, reactions 75-31-0, Isopropylamine, reactions 75-33-2, 2-Propanethiol 75-64-9, tert-Butylamine, reactions 75-66-1, 2-Methyl-2-propanethiol 98-02-2, (Furan-2-yl)methanethiol 100-53-8, Phenylmethanethiol 110-00-9, Furan 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 126-33-0, Tetramethylene sulfone 147-85-3, (S)-2-Carboxypyrrolidine, reactions 279-33-4, 5-Aza-2-oxabicyclo[2.2.1]heptane 344-25-2, (R)-2-Carboxypyrrolidine 371-42-6, 4-Fluorobenzenethiol 503-29-7, Azetidine 513-44-0 623-51-8, Ethyl mercaptoacetate 637-89-8, 4-Hydroxybenzenethiol 867-13-0, Ethyl 2-(diethoxyphosphinyl)acetate 872-35-5, 1H-Imidazole-2-thiol 873-55-2, Sodium phenylsulfinate 1121-24-0, 2-Hydroxybenzenethiol 1450-85-7, Pyrimidine-2-thiol 1569-69-3, Cyclohexanethiol 1679-07-8, Cyclopentanethiol 1689-02-7, Pyrrolidine-1-sulfonyl chloride 1828-66-6, (Morpholin-4-yl)sulfonyl chloride 1996-44-7, 2,4-Difluorobenzenethiol 2516-34-9, Cyclobutylamine 2557-78-0, 2-Fluorobenzenethiol 2577-48-2, (L)-Proline methyl ester 2637-34-5, Pyridine-2-thiol 3179-31-5, 1H-1,2,4-Triazole-3-thiol 4455-15-6, Ethyl 2-(methanesulfonyl)acetate 4556-23-4, Pyridine-4-thiol 5685-05-2, Thiazole-2-thiol 5685-06-3, 4-Methylthiazole-2-thiol 5862-40-8, 2-Mercaptoethyl acetate 6456-74-2, [(tert-Butoxycarbonyl)methyl]amine 7217-59-6, 2-Methoxybenzenethiol 7774-74-5, Thiophene-2-thiol 13183-79-4, 1-Methyl-1H-tetrazole-5-thiol 13384-48-0, Cyclobutylmagnesium bromide 16548-07-5, (Ethylamino)sulfonyl chloride 20739-39-3, 2-Aminoethyl acetate hydrochloride 21987-29-1, 4,4-Difluoropiperidine 23719-80-4, Cyclopropylmagnesium bromide 24854-43-1, 4-Methyl-4H-1,2,4-triazole-3-thiol 28588-74-1, 2-Methylfuran-3-thiol 29490-19-5, 5-Methyl-1,3,4-thiadiazole-2-thiol 33581-95-2, [(tert-Butyl)amino]sulfonyl chloride 39093-93-1, 1,1-Dioxothiomorpholine 40248-84-8, 3-Hydroxybenzenethiol 43041-12-9, (R)-2-(Methoxycarbonyl)pyrrolidine 45347-82-8, 3-Hydroxyazetidine 54044-11-0, 3-Oxoazetidine 67160-19-4, 3-(Methanesulfonyloxy)azetidine 74121-99-6, 3-Acetoxyazetidine 79869-58-2, Propanethiol 85117-99-3, 2,5-Difluorobenzyl bromide 94089-02-8, 1-(Thien-2-yl)ethanethiol 106877-33-2, 3-Amino-6-(trifluoromethyl)pyridine 109074-67-1, 2-(Trifluoromethyl)pyrrolidine 123427-86-1, 2,2-Bis(2-iodoethyl)-1,3-dioxolane 136725-54-7, (S)-3-Fluoropyrrolidine 175278-01-0, 2-(Trifluoromethoxy)benzenethiol 256931-54-1, 3-Hydroxy-3-methylazetidine 316131-01-8, 3,3-Difluoropyrrolidine 471903-20-5, 4-[(4-Chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanone 471903-58-9, [cis-4-[(4-Chlorophenyl)sulfonyl]-4-(2,5-

difluorophenyl)cyclohexyl]amine 471903-60-3, 4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexanone 471903-67-0, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid ethyl ester 471903-69-2, [cis-4-(4-Chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid 471905-36-9, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-N-methoxy-N-methylacetamide 500892-34-2, [cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]acetic acid 639519-67-8, Azetidine-1-sulfonyl chloride 679431-12-0, Iodo[cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]methane 679431-14-2, cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexanol 679431-51-7, (R)-3-Fluoropyrrolidine 679431-52-8, 3,3-Difluoroazetidine 679432-30-5, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethanesulfonyl chloride 679433-03-5, 3,3-Difluoroazetidine-1-sulfonyl chloride 679433-04-6, 4-(Trifluoromethyl)piperidine-1-sulfonyl chloride 679433-05-7, (R)-3-[(tert-Butoxy)carbonyl]pyrrolidine-1-sulfonyl chloride 679433-06-8, (R)-3-Hydroxypyrrolidine-1-sulfonyl chloride 679433-07-9, 3-Oxopyrrolidine-1-sulfonyl chloride 679433-08-0, 3-Hydroxy-3-methylpyrrolidine-1-sulfonyl chloride 679433-09-1, 3-Hydroxyazetidine-1-sulfonyl chloride 679433-10-4, 3-Hydroxy-3-methylazetidine-1-sulfonyl chloride 679433-11-5, 3-(Dimethylamino)azetidine-1-sulfonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 471905-53-0P, cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexanol 471905-69-8P, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethanol 677772-34-8P, [cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amine 677772-35-9P, [cis-4-(2,5-Difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl]amine 677772-36-0P, 6-(Trifluoromethyl)-3-pyridinethiol 677772-37-1P, 6-Trifluoromethylpyridin-3-yl 2,5-difluorobenzyl sulfone 677772-38-2P, 4-(6-Trifluoromethylpyridin-3-ylsulfonyl)-4-(2,5-difluorophenyl)cyclohexanone ethylene glycol cyclic ketal 677772-39-3P, 4-(6-Trifluoromethylpyridin-3-ylsulfonyl)-4-(2,5-difluorophenyl)cyclohexanone 679431-06-2P, Iodo[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methane 679431-07-3P, 2-Iodo-1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethane 679431-08-4P, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanethiol 679431-09-5P 679431-10-8P, [[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]amine 679431-11-9P 679431-13-1P, 2-[cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]ethanol 679431-15-3P, Methanesulfonic acid 2-[cis-4-(2,5-difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]ethyl ester 679431-16-4P, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanesulfonyl chloride 679432-13-4P, [[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]trifluoromethyl sulfide 679432-15-6P 679432-17-8P, 4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-1-[(methanesulfonyl)methyl]cyclohexanol 679432-37-2P, (2-Acetoxyethyl)sulfamoyl chloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Merck Frosst Canada; WO 0170677 A 2001 HCAPLUS

=> b wpix

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FILE LAST UPDATED: 21 MAR 2005 <20050321/UP>
MOST RECENT DERWENT UPDATE: 200519 <200519/DW>
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L8   ANSWER 1 OF 1  WPIX  COPYRIGHT 2005 THE THOMSON CORP on STN
AN   2004-316452 [29]   WPIX
DNC  C2004-120053
TI   New cyclohexyl sulfone derivatives are gamma-secretase inhibitors to treat
     humans suffering from or prone to a condition associated with beta-amyloid
     deposition and to treat or prevent Alzheimer's disease.
DC   B05
IN   CHURCHER, I; HARRISON, T; KERRAD, S; OAKLEY, P J; SHAW, D E; TEALL, M R;
     WILLIAMS, S
PA   (CHUR-I) CHURCHER I; (HARR-I) HARRISON T; (KERR-I) KERRAD S; (OAKL-I)
     OAKLEY P J; (SHAW-I) SHAW D E; (TEAL-I) TEALL M R; (WILL-I) WILLIAMS S;
     (MERI) MERCK SHARP & DOHME LTD
CYC  105
PI   WO 2004031137   A1 20040415 (200429)* EN   78    C07C317-14
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            LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
         W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
            DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR
            KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH
            PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC
            VN YU ZA ZM ZW
     US 2004122050   A1 20040624 (200442)              A61K031-445    <--
     AU 2003267614   A1 20040423 (200465)              C07C317-14
ADT  WO 2004031137 A1 WO 2003-GB4102 20030925; US 2004122050 A1 US 2003-679557
     20031006; AU 2003267614 A1 AU 2003-267614 20030925
FDT  AU 2003267614 A1 Based on WO 2004031137
PRAI GB 2002-23039        20021004
IC   ICM  A61K031-445; C07C317-14
     ICS  A61K031-18; A61K031-19; A61K031-195; A61K031-40; C07C317-18;
          C07C317-20; C07C317-22; C07C317-24; C07C317-44; C07C331-04;
          C07D205-04; C07D205-06; C07D207-08; C07D207-10; C07D207-16;
          C07D211-38; C07D213-70; C07D213-71; C07D213-89; C07D233-84;
          C07D239-38; C07D249-08; C07D257-04; C07D277-36; C07D295-08;
          C07D295-26; C07D307-38; C07D307-64; C07D317-26; C07D317-48;
          C07D333-18; C07D333-48; C07D491-08
AB   WO2004031137 A UPAB: 20040505
     NOVELTY - Cyclohexyl sulfone derivatives (I) and their salts are new.
          DETAILED DESCRIPTION - Cyclohexyl sulfone derivatives of formula (I)
     and their salts are new.
     e.g.
          X = SCN, SR1, S(O)R1, (CR-aR-b)mSO2R1, SO2N(R2)2, SO2NHCOR1,
     SO2NHN(R2)2, OSO2N(R2)2, OS(O)N(R2)2, OSO2NHCOR1, COR4, NHCOR1, NHCO2R1,
     NHCON(R2)2, NHSO2R1 or NHSO2N(R2)2;
          L = a bond, (=CH) or (CHR-a)n (with the proviso that L does not
     represent a bond, when X represents NHCOR1, NHCO2R1 or NHSO2R1; and if L
     represents (=CH), X represents SO2R1 or COR4); and
```

Ar1, Ar2 = phenyl or heteroaryl (either of which bears 0-3 of halo, CN, NO2, CF3, CHF2, OH, OCF3, CHO, CH=NOH, 1-4C alkoxy, 1-4C alkoxycarbonyl, 2-6C acyl, 2-6C alkenyl or 1-4C alkyl which optionally bears a substituent of halo, CN, NO2, CF3, OH or 1-4C alkoxy).

Full definitions are given in the DEFINITIONS (Full Definitions) field.

ACTIVITY - Neuroprotective; Nootropic.

MECHANISM OF ACTION - Gamma-secretase inhibitor. Amyloid protein deposition inhibitor.

USE - (I) is useful in medicaments to treat humans suffering from or prone to a condition associated with beta-amyloid deposition and to treat or prevent Alzheimer's disease (claimed). The level of biological activity of (I) was determined as described in WO0170677. The compounds exhibited a median effective dosage of less than 1 micro M (preferably less than 10 nM).

Dwg.0/0

FS CPI
FA AB; GI; DCN
MC CPI: B06-H; B07-H; B10-A10; B14-D03; B14-J01A4; B14-L06

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                 E GB2002-23039/AP,PRN
L2             1 GB2002-23039/AP,PRN
L3             1 L1-2

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L5           288 SEA L4

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                 E GB2002-23039/AP,PRN
L7             1 GB2002-23039/AP,PRN
L8             1 L6-7

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L11           13 L10
L12          289 L10 FULL
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L15            3 E1-6 AND L13
L16            2 L13 NOT L15

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DICTIONARY FILE UPDATES:  23 MAR 2005  HIGHEST RN 847137-45-5

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* available and contains the CA role and document type information.  *
*                                                                    *
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http://www.cas.org/ONLINE/DBSS/registryss.html

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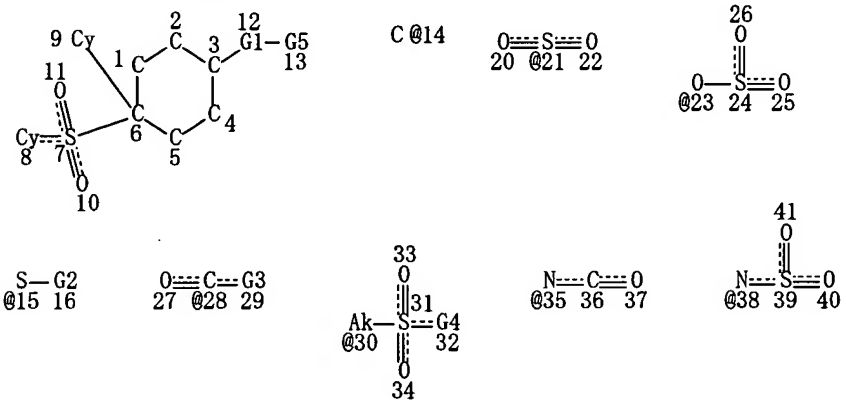

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DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 8
GGCAT IS UNS AT 9
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE
L12 289 SEA FILE=REGISTRY SSS FUL L10

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SEARCH TIME: 00.00.01

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FILE LAST UPDATED: 23 Mar 2005 (20050323/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

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L15 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2004:308411** HCAPLUS
DN 140:321114
ED Entered STN: 15 Apr 2004
TI Preparation of aryl (alkylsulfonylamino)cyclohexyl sulfones as γ-secretase inhibitors for treatment of Alzheimer's disease
IN Churcher, Ian; Harrison, Timothy; Kerrad, Sonia; Nadin, Alan John; Owens, Andrew Pate; Shaw, Duncan Edward; Thomson, Joanne; Williams, Susannah
PA Merck Sharp & Dohme Limited, UK
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C317-30
ICS C07D213-71; C07D295-10; C07D207-04; A61K031-10; A61P025-28
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004031139	A1	20040415	WO 2003-GB4196	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004121995	A1	20040624	US 2003-679902	20031006
PRAI GB 2002-23038	A	20021004		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004031139	ICM	C07C317-30
	ICS	C07D213-71; C07D295-10; C07D207-04; A61K031-10; A61P025-28
US 2004121995	ECLA	A61K031/10; C07C317/30; C07D213/70B; C07D213/70C; C07D213/74; C07D231/18; C07D233/42; C07D; C07D257/04E3; C07D261/10B; C07D275/02D1; C07D277/36; C07D295/08B1; C07D307/64; C07D333/34

OS MARPAT 140:321114
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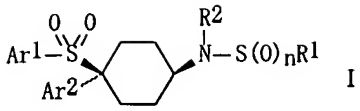

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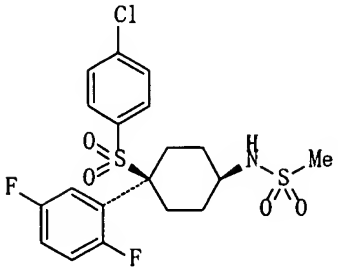


II

AB Title compds. I [wherein n = 1 or 2; R1 = CF3, aryl(alkyl), heterocyclyl(alkyl), or (un)substituted alkyl, alkenyl, cycloalkyl(alkyl); R2 = H or alkyl; R3 = H, alkyl, Ph, or heteroaryl; R4 = alkyl, Ph, or heteroaryl; R5 = H or alkyl; or N(R5)2 = azetidinyl, pyrrolidinyl, piperidinyl, morpholinyl, or (1,1-dioxido)thiomorpholinyl; Ar1 and Ar2 = independently (un)substituted Ph or heteroaryl; or pharmaceutically acceptable salts thereof] were prepared as inhibitors of the processing of amyloid precursor protein (APP) by γ-secretase. For example, reduction of 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanone to the alc., followed by mesylation, conversion to the azide, and reduction gave 4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexylamine. Reaction of the amine with MeSO2Cl using TEA in CH2Cl2 provided the sulfonamide II. Compds. of the invention inhibited β-amyloid formation in SH-SY5Y cells stably over-expressing a βAPP C-terminal fragment with ED50 values <0.5 μM, and in most cases <100 nM. Thus, I and their pharmaceutical compns. are useful in treating or preventing Alzheimer's disease or other condition associated with the deposition of β-amyloid (no data).

ST aryl cyclohexyl sulfone prepn Alzheimers agent amyloid inhibitor; arylsulfonylcyclohexyl sulfonamide prepn APP inhibitor Alzheimers disease treatment

IT Nervous system, disease
(degeneration; preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT Cytoprotective agents
(neuroprotective; preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT Alzheimer's disease
Anti-Alzheimer's agents
Drug delivery systems
Human
(preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT Amyloid precursor proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β-; preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT 35432-36-1P, 2-Methyl-1-propanesulfonyl chloride 55694-81-0P, 2-Chlorosulfonyl-1-methylimidazole 87469-12-3P, 5-Chlorosulfonyl-1-methyltetrazole 471903-41-0P, trans-4-[(4-Chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanol **471903-56-7P** 471903-57-8P 471903-58-9P, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amine 677772-34-8P, [cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amine

677772-35-9P, [cis-4-(2,5-Difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl]amine 677772-36-0P 677772-37-1P 677772-38-2P 677772-39-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT 338454-52-7, γ-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT 60-56-0, 2-Mercapto-1-methylimidazole 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 513-44-0, 2-Methyl-1-propanethiol 10147-37-2, Isopropylsulfonyl chloride 13183-79-4, 5-Mercapto-1-methyltetrazole 85117-99-3, 2,5-Difluorobenzyl bromide 99725-12-9, 2-Fluoro-5-bromobenzyl bromide 106877-33-2, 3-Amino-6-(trifluoromethyl)pyridine 123427-86-1, 2,2-Bis(2-iodoethyl)-1,3-dioxolane 146374-27-8 471903-20-5, 4-[(4-Chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT **677772-40-6P**, Methanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-76-8P**, 2-Methoxy-2-oxoethanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-81-5P**, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amino]sulfonyl]acetamide **677772-96-2P**, 6-Chloro-3-pyridinesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-98-4P**, Ethenesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677773-00-1P**, 2-(Morpholin-4-yl)ethanesulfonic acid N-[cis-4-(2,5-difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amide 677773-01-2P **677773-10-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(γ-secretase inhibitor; preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

IT 677772-33-7P **677772-41-7P**, 2,2,2-Trifluoroethanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-42-8P**, Propanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-43-9P**, Phenylmethanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-44-0P**, Benzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-45-1P**, 2-Thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-46-2P**, Ethanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-47-3P**, 5-Chloro-2-thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-48-4P**, Butanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-49-5P**, 2-Fluorobenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-50-8P**, 3-Fluorobenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-51-9P**, 4-Fluorobenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-52-0P**, 2-Pyridinesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-53-1P**, 5-Methyl-2-thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-54-2P** **677772-55-3P**, 4-Chloro-2-thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-56-4P**,

2-(Trifluoromethyl)benzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-57-5P**, Isobutanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-58-6P**, (Methylsulfonyl)methanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-59-7P**, 2-Methylbenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-60-0P**, 4-Methyl-4H-1,2,4-triazole-3-sulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-61-1P 677772-62-2P**, Chloromethanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-63-3P**, 2-Furansulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-64-4P**, 2-Chlorobenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-65-5P**, 2-Cyanobenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-66-6P 677772-67-7P**, 3-Thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-68-8P**, 3-Chloropropanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-69-9P**, 1-Methyl-1H-tetrazole-5-sulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-70-2P**, 1,2,4-Triazole-3-sulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-71-3P**, 3-Chloro-2-thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-72-4P**, 1-Methyl-5-imidazolesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-73-5P**, 1-Methyl-4-imidazolesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-74-6P**, 1-Methyl-2-imidazolesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-75-7P**, 2-Bromobenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-77-9P**, 3-Bromo-2-thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-78-0P**, 4-Bromo-2-thiophenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-79-1P**, 5-Chloro-2-methoxybenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-80-4P**, 2-Methoxybenzenesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-82-6P**, 2-Hydroxyethanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-83-7P**, Cyanomethanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-84-8P 677772-85-9P**, Methanesulfonic acid N-[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-N-methylamide **677772-86-0P**, Methanesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-87-1P**, 2-Furansulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-88-2P**, Ethanesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-89-3P**, (Methylsulfonyl)methanesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-90-6P**, 1-Methyl-4-imidazolesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-91-7P 677772-92-8P**, 2-Pyridinesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-93-9P**, 5-Chloro-2-thiophenesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-94-0P**, Propanesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-95-1P**, 2-Thiophenesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-

(2,5-difluorophenyl)cyclohexyl]amide **677772-97-3P**, 3-Thiophenesulfonic acid N-[cis-4-[4-(trifluoromethyl)benzenesulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amide **677772-99-5P** **677773-02-3P**, 1,1-Dimethylethanesulfonic acid N-[cis-4-(2,5-difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amide **677773-03-4P**, 2-(Pyrrolidin-1-yl)ethanesulfonic acid N-[cis-4-(2,5-difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amide **677773-04-5P**, Methanesulfonic acid N-[cis-4-(2,5-difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl]amide **677773-05-6P**, 2-Thiophenesulfonic acid N-[cis-4-(2,5-difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl]amide **677773-06-7P** **677773-07-8P**, Propanesulfonic acid N-[cis-4-(2,5-difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl]amide **677773-08-9P**, 1-Chloro-1-methylethanesulfonic acid N-[cis-4-(2,5-difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl]amide **677773-09-0P** **677773-11-4P** **677773-12-5P** **677773-13-6P** **677773-14-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(γ-secretase inhibitor; preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Collins, I; WO 0170677 A 2001 HCAPLUS

IT **471903-56-7P**

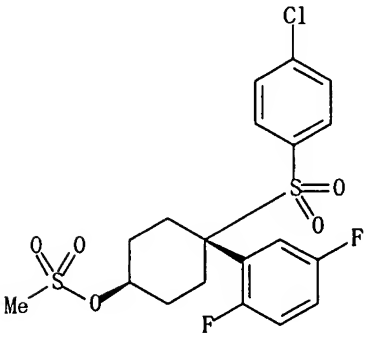
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aryl cyclohexyl sulfones as inhibitors of β-amyloid formation for treatment of Alzheimer's disease)

RN 471903-56-7 HCAPLUS

CN Cyclohexanol, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, methanesulfonate, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L15 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

AN **2004:308409** HCAPLUS

DN 140:321108

ED Entered STN: 15 Apr 2004

TI Preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease

IN Churcher, Ian; Harrison, Timothy; Kerrad, Sonia; Oakley, Paul Joseph; Shaw, Duncan Edward; Teall, Martin Richard; Williams, Susannah

PA Merck Sharp & Dohme Limited, UK

SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C317-14
ICS C07C317-18; C07C317-20; C07C317-22; C07C317-24; C07C317-44;

C07C331-04; C07D205-04; C07D205-06; C07D207-08; C07D207-10;
C07D207-16; C07D211-38; C07D213-70; C07D213-71; C07D213-89;
C07D233-84; C07D239-38; C07D249-08; C07D257-04

CC 25-12 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

FAN.CNT 1

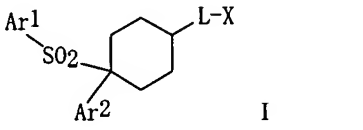
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004031137	A1	20040415	WO 2003-GB4102	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004122050	A1	20040624	US 2003-679557	20031006
PRAI GB 2002-23039	A	20021004		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004031137	ICM	C07C317-14
	ICS	C07C317-18; C07C317-20; C07C317-22; C07C317-24; C07C317-44; C07C331-04; C07D205-04; C07D205-06; C07D207-08; C07D207-10; C07D207-16; C07D211-38; C07D213-70; C07D213-71; C07D213-89; C07D233-84; C07D239-38; C07D249-08; C07D257-04
US 2004122050	ECLA	C07C317/14; C07C317/18; C07C317/20; C07C317/22; C07C317/24; C07C317/44; C07C331/04; C07D205/4

OS MARPAT 140:321108

GI



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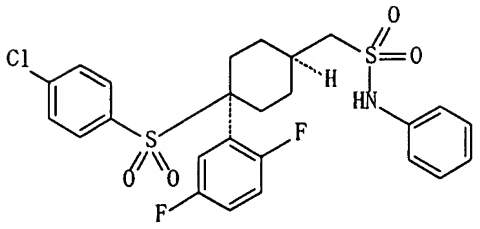

II

AB Aryl cyclohexyl sulfones (shown as I; variables defined below; e.g. II) inhibit the processing of APP by γ-secretase, and hence are useful in treatment of Alzheimer's disease. For I: X = SCN, SR1, S(O)R1, (CRaRb)mSO2R1, SO2N(R2)2, SO2NHCOR1, SO2NHN(R2)2, OSO2N(R2)2, OS(O)N(R2)2, OSO2NHCOR1, COR4, NHCOR1, NHCO2R1, NHCON(R2)2, NHSO2R1 or NHSO2N(R2)2; L = a bond, :CH- or -(CHRa)n- with provisos; n = 1-3; Ar1 and Ar2 = Ph or heteroaryl, either of which bears 0-3 halogen, CN, NO2, CF3, CHF2, OH, OCF3, CHO, CH:NOH, C1-4-alkoxy, C1-4-alkoxycarbonyl, C2-6-acyl, C2-6-alkenyl, and C1-4-alkyl; Ra = H, alkyl; Rb = H, alkyl, CO2H, alkoxycarbonyl, alkylsulfonyl; R1 = CF3, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl(alkyl), heterocyclyl(alkyl); R2 = H, (substituted) alkoxy, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl; R3 = H, alkyl, Ph, heteroaryl; R4 = CRaRbSO2R1, pyridine N-oxide, substituted Ph, heteroaryl; addnl. details are given in the claims. Although the methods of preparation are not claimed, example prepns. and/or characterization data are included for <180 examples of I and some intermediates. For example,

II was prepared from excess aniline and [cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanesulfonyl chloride, which was prepared from SO2Cl2, KNO3 and [cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanethiol, which was prepared from in 2 steps from iodo[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methane, which was prepared photochem. from [cis-4-(4-Chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid, iodoisobenzene diacetate and I2. The examples all had an ED50 against γ-secretase of <1 μM, typically <0.5 μM, in most cases <100 nM, and in preferred cases <10 nM.

ST aryl cyclohexyl sulfone prepn gamma secretase inhibitor anti Alzheimer's

IT Sulfones
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl, drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT Alzheimer's disease
Anti-Alzheimer's agents
Human
(preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT Aromatic compounds
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfones, drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β-, disorders associated with deposition of; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT **679431-34-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(R)-2-(methoxycarbonyl)pyrrolidin-1-yl]sulfonyl]methyl]cyclohexane **679431-35-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(S)-2-(methoxycarbonyl)pyrrolidin-1-yl]sulfonyl]methyl]cyclohexane **679431-36-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[[(tert-butoxycarbonyl)methyl]amino]sulfonyl]methyl]cyclohexane **679431-44-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(carboxymethyl)amino]sulfonyl]methyl]cyclohexane **679431-46-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-acetoxyazetidin-1-yl)sulfonyl]methyl]cyclohexane **679431-47-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxyazetidin-1-yl)sulfonyl]methyl]cyclohexane **679431-48-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-oxoazetidin-1-yl)sulfonyl]methyl]cyclohexane **679431-53-9P**, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfanyl]pyridine **679431-54-0P**, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]pyridine **679431-83-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane **679431-95-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(ethoxycarbonyl)methyl]sulfanyl]methyl]cyclohexane **679432-11-2P**, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl thiocyanate 679432-16-7P, 1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(methanesulfonyl)methylene]cyclohexane **679432-27-0P**, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethanesulfonamide **679432-31-6P**, 4-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-(methanesulfonyl)butyric acid ethyl ester **679432-33-8P**, 4-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-ethyl-2-(methanesulfonyl)butyric acid ethyl ester **679432-34-9P**, 4-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-ethyl-2-(methanesulfonyl)butyric acid **679432-36-1P**, Acetic acid 2-[[[[cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]oxy]sulfonyl]amino]ethyl ester **679432-40-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-

[(aminosulfonyl)oxy]cyclohexane **679432-49-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[3-(allyloxy)benzoyl]methyl]cyclohexane **679432-50-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[2-[(methoxycarbonyl)methoxy]benzoyl]methyl]cyclohexane **679432-52-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[3-(1,3-dioxolan-2-yl)benzoyl]methyl]cyclohexane **679432-53-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(3-formylbenzoyl)methyl]cyclohexane **679432-55-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(2-formylbenzoyl)methyl]cyclohexane **679432-58-7P**, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-3-(methanesulfonyl)propan-2-one **679432-59-8P**, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-3-methanesulfonyl-3-methylbutan-2-one **679432-63-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[5-(hydroxymethyl)furan-2-yl]carbonyl]methyl]cyclohexane **679432-64-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-formylfuran-2-yl)carbonyl]methyl]cyclohexane **679432-67-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(hydroxymethyl)furan-2-yl]carbonyl]methyl]cyclohexane **679432-68-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-formylfuran-2-yl)carbonyl]methyl]cyclohexane **679432-70-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-[2-(ethoxycarbonyl)ethenyl]furan-2-yl]carbonyl]methyl]cyclohexane **679432-71-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-[2-(ethoxycarbonyl)ethyl]furan-2-yl]carbonyl]methyl]cyclohexane **679432-81-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[[(S)-2-(methoxycarbonyl)pyrrolidin-1-yl]sulfonyl]amino]methyl]cyclohexane **679432-96-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(R)-3-[(tert-butoxy)carbonyl]pyrrolidin-1-yl]sulfonyl]amino]cyclohexane **679433-00-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxyazetidin-1-yl)sulfonyl]amino]cyclohexane

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT **679431-17-5P**, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-N-phenylmethanesulfonamide **679431-18-6P**, 1-[cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]methanesulfonamide **679431-19-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-(sulfamoylmethyl)cyclohexane **679431-20-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclobutylamino)sulfonyl]methyl]cyclohexane **679431-21-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(piperidin-1-yl)sulfonyl]methyl]cyclohexane **679431-22-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-trifluoromethylpyrrolidin-1-yl)sulfonyl]methyl]cyclohexane **679431-23-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3-difluoropyrrolidin-1-yl)sulfonyl]methyl]cyclohexane **679431-24-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((R)-3-fluoropyrrolidin-1-yl)sulfonyl]methyl]cyclohexane **679431-25-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((S)-3-fluoropyrrolidin-1-yl)sulfonyl]methyl]cyclohexane **679431-26-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-aza-2-oxabicyclo[2.2.1]hept-5-yl)sulfonyl]methyl]cyclohexane **679431-27-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4,4-difluoropiperidin-1-yl)sulfonyl]methyl]cyclohexane **679431-28-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(N-methoxy-N-methylamino)sulfonyl]methyl]cyclohexane **679431-29-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(morpholin-4-yl)sulfonyl]methyl]cyclohexane **679431-30-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrrolidin-1-yl)sulfonyl]methyl]cyclohexane **679431-31-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-

difluorophenyl)-4-[[(azetidin-1-yl)sulfonyl]methyl]cyclohexane **679431-32-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(tert-butylamino)sulfonyl]methyl]cyclohexane **679431-33-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,2,2-trifluoroethylamino)sulfonyl]methyl]cyclohexane **679431-38-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(hydrazinyl)sulfonyl]methyl]cyclohexane **679431-39-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(dimethylamino)sulfonyl]methyl]cyclohexane **679431-40-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(isopropylamino)sulfonyl]methyl]cyclohexane **679431-41-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3-difluoroazetidin-1-yl)sulfonyl]methyl]cyclohexane **679431-42-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((R)-2-carboxypyrrolidin-1-yl)sulfonyl]methyl]cyclohexane **679431-43-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((S)-2-carboxypyrrolidin-1-yl)sulfonyl]methyl]cyclohexane **679431-45-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(acetylamino)sulfonyl]methyl]cyclohexane **679431-49-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxy-3-methylazetidin-1-yl)sulfonyl]methyl]cyclohexane **679431-50-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(methanesulfonyloxy)azetidin-1-yl]sulfonyl]methyl]cyclohexane **679431-55-1P**, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfinyl]pyridine **679431-56-2P**, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]pyridine N-oxide **679431-57-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(propan-2-yl)sulfonyl]methyl]cyclohexane **679431-58-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,2,2-trifluoroethyl)sulfonyl]methyl]cyclohexane **679431-59-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(propyl)sulfonyl]methyl]cyclohexane **679431-60-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(isobutyl)sulfonyl]methyl]cyclohexane **679431-61-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyridin-4-yl)sulfonyl]methyl]cyclohexane **679431-62-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrimidin-2-yl)sulfonyl]methyl]cyclohexane **679431-63-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-methylthiazol-2-yl)sulfonyl]methyl]cyclohexane **679431-64-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]cyclohexane **679431-65-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methylfuran-3-yl)sulfonyl]methyl]cyclohexane **679431-66-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(acetylmethyl)sulfonyl]methyl]cyclohexane **679431-67-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(furan-2-yl)methyl]sulfonyl]methyl]cyclohexane **679431-68-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[1-(thien-2-yl)ethyl]sulfonyl]methyl]cyclohexane **679431-69-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(benzyl)sulfonyl]methyl]cyclohexane **679431-70-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclopentyl)sulfonyl]methyl]cyclohexane **679431-71-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(thiazol-2-yl)sulfonyl]methyl]cyclohexane **679431-72-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclohexyl)sulfonyl]methyl]cyclohexane **679431-73-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(thien-2-yl)sulfonyl]methyl]cyclohexane **679431-74-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-imidazol-2-yl)sulfonyl]methyl]cyclohexane **679431-75-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-methyl-4H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane **679431-77-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(tert-butyl)sulfonyl]methyl]cyclohexane **679431-79-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-hydroxyethyl)sulfonyl]methyl]cyclohexane **679431-80-2P**,

cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1H-imidazol-2-yl)sulfonyl]methyl]cyclohexane **679431-82-4P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-tetrazol-5-yl)sulfonyl]methyl]cyclohexane **679431-84-6P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-tetrazol-5-yl)sulfinyl]methyl]cyclohexane **679431-85-7P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-hydroxy-2-methylpropyl)sulfonyl]methyl]cyclohexane **679431-87-9P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methoxyethyl)sulfonyl]methyl]cyclohexane **679431-89-1P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclopropyl)sulfonyl]methyl]cyclohexane **679431-91-5P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-methyl-1H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane **679431-92-6P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methyl-2H-1,2,4-triazol-3-yl)sulfonyl]methyl]cyclohexane **679431-94-8P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyclobutyl)sulfonyl]methyl]cyclohexane **679431-96-0P**,
cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyridin-2-yl)sulfanyl]methyl]cyclohexane **679431-97-1P**,
cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrimidin-2-yl)sulfanyl]methyl]cyclohexane **679431-98-2P**,
cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyridin-2-yl)sulfonyl]methyl]cyclohexane **679431-99-3P**,
cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrimidin-2-yl)sulfonyl]methyl]cyclohexane **679432-00-9P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(ethyl)sulfonyl]methyl]cyclohexane **679432-01-0P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[2-(trifluoromethoxy)phenyl]sulfonyl]methyl]cyclohexane **679432-02-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-methoxyphenyl)sulfonyl]methyl]cyclohexane **679432-03-2P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-fluorophenyl)sulfonyl]methyl]cyclohexane **679432-04-3P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-fluorophenyl)sulfonyl]methyl]cyclohexane **679432-05-4P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,4-difluorophenyl)sulfonyl]methyl]cyclohexane **679432-06-5P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2-hydroxyphenyl)sulfonyl]methyl]cyclohexane **679432-07-6P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxyphenyl)sulfonyl]methyl]cyclohexane **679432-08-7P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-hydroxyphenyl)sulfonyl]methyl]cyclohexane **679432-09-8P**,
cis-1-(4-Trifluoromethylphenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(methanesulfonyl)methyl]cyclohexane **679432-10-1P**,
2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]acetamide **679432-12-3P**, [[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl] trifluoromethyl sulfone **679432-14-5P**, 2-[[[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]sulfonyl]furan **679432-18-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(methanesulfonyl)methyl]cyclohexane **679432-19-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(phenylsulfonyl)methyl]cyclohexane **679432-20-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(cyanomethyl)sulfonyl]methyl]cyclohexane **679432-21-4P**,
cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-(2-propylsulfonylethyl)cyclohexane **679432-22-5P**,
cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-(isopropylsulfonyl)ethyl]cyclohexane **679432-23-6P**,
cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-[(pyridin-2-yl)sulfonyl]ethyl]cyclohexane **679432-24-7P**,
cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-[(pyrimidin-2-yl)sulfonyl]ethyl]cyclohexane **679432-25-8P**,
cis-1-(2,5-Difluorophenyl)-1-(4-trifluoromethylphenylsulfonyl)-4-[2-[(2-chlorophenyl)sulfonyl]ethyl]cyclohexane **679432-26-9P**,
cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[2-(methylsulfonyl)ethyl]cyclohexane **679432-28-1P**,
N-Acetyl-2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-

difluorophenyl)cyclohexyl]ethanesulfonamide **679432-29-2P**, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethane sulfonic acid tert-butylamide **679432-32-7P**, 3-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-2-(methanesulfonyl)propionic acid ethyl ester **679432-35-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[3-(methylsulfonyl)pentyl]cyclohexane **679432-38-3P**, (2-Hydroxyethyl)sulfamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester 679432-39-4P, Sulfinamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester **679432-41-8P**, cis-1-[[4-(Trifluoromethyl)phenyl]sulfonyl]-1-(2,5-difluorophenyl)-4-[(aminosulfonyl)oxy]cyclohexane **679432-42-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(ethylamino)sulfonyl]oxy]cyclohexane **679432-43-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(2,2,2-trifluoroethyl)amino]sulfonyl]oxy]cyclohexane **679432-44-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(tert-butyl)amino]sulfonyl]oxy]cyclohexane **679432-45-2P**, Dimethylsulfamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester **679432-46-3P**, Acetylsulfamic acid cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl ester **679432-47-4P**, Sulfamic acid cis-4-(2,5-difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl ester **679432-48-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(2,2-difluorobenzodioxol-4-yl)carbonyl]methyl]cyclohexane **679432-51-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[2-(carboxymethoxy)benzoyl]methyl]cyclohexane **679432-54-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(3-carboxybenzoyl)methyl]cyclohexane **679432-56-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(2-carboxybenzoyl)methyl]cyclohexane **679432-57-6P**, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-1-[3-[2-(1,1-dioxo-4-thiomorpholinyl)ethoxy]phenyl]ethanone **679432-60-1P**, 1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-3-(methanesulfonyl)butan-2-one **679432-61-2P**, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-1-(1,1-dioxotetrahydrothiophen-2-yl)ethanone **679432-62-3P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(1-oxopyridin-2-yl)carbonyl]methyl]cyclohexane **679432-65-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(5-carboxyfuran-2-yl)carbonyl]methyl]cyclohexane **679432-66-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[5-[2-(ethoxycarbonyl)ethenyl]furan-2-yl]carbonyl]methyl]cyclohexane **679432-69-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-carboxyfuran-2-yl)carbonyl]methyl]cyclohexane **679432-72-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(2-carboxyethyl)furan-2-yl]carbonyl]methyl]cyclohexane **679432-73-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[5-(2-carboxyethyl)furan-2-yl]carbonyl]methyl]cyclohexane **679432-74-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-[(morpholin-4-yl)methyl]furan-2-yl]carbonyl]methyl]cyclohexane **679432-75-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[(acetylamino)methyl]cyclohexane **679432-76-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(methoxycarbonyl)amino]methyl]cyclohexane **679432-77-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3,3-trifluoropropanoyl)amino]methyl]cyclohexane **679432-78-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3,3-trifluoro-2-hydroxypropanoyl)amino]methyl]cyclohexane **679432-79-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(pyrrolidin-1-yl)sulfonyl]amino]methyl]cyclohexane **679432-80-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(ethylamino)sulfonyl]amino]methyl]cyclohexane **679432-82-7P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[[(S)-2-carboxypyrrolidin-1-yl)sulfonyl]amino]methyl]cyclohexane **679432-83-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(methylamino)carbonyl]amino]methyl]cyclohexane **679432-84-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-

difluorophenyl)-4-[[(aminocarbonyl)amino]methyl]cyclohexane **679432-85-0P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(dimethylamino)carbonyl]amino]methyl]cyclohexane **679432-86-1P**, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]sulfamic amide **679432-87-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(dimethylamino)sulfonyl]amino]cyclohexane 679432-88-3P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(ethylsulfonyl)amino]amino]cyclohexane 679432-89-4P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(2,2,2-trifluoroethyl)sulfonyl]amino]amino]cyclohexane 679432-90-7P, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(tert-butyl)sulfonyl]amino]amino]cyclohexane **679432-91-8P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(pyrrolidin-1-yl)sulfonyl]amino]cyclohexane **679432-92-9P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(azetidin-1-yl)sulfonyl]amino]cyclohexane **679432-93-0P**
, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3,3-difluoroazetidin-1-yl)sulfonyl]amino]cyclohexane **679432-94-1P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(4-trifluoromethylpiperidin-1-yl)sulfonyl]amino]cyclohexane **679432-95-2P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(morpholin-4-yl)sulfonyl]amino]cyclohexane **679432-97-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[((R)-3-hydroxypyrrolidin-1-yl)sulfonyl]amino]cyclohexane **679432-98-5P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-oxopyrrolidin-1-yl)sulfonyl]amino]cyclohexane **679432-99-6P** **679433-01-3P**
, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[(3-hydroxy-3-methylazetidin-1-yl)sulfonyl]amino]cyclohexane **679433-02-4P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[3-(dimethylamino)azetidin-1-yl]sulfonyl]amino]cyclohexane **679433-12-6P**, Pyrrolidine-1-sulfonic acid [cis-4-(2,5-difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amide **679433-14-8P**, Pyrrolidine-1-sulfonic acid [cis-4-(2,5-difluorophenyl)-4-(6-trifluoromethylpyridyl-3-sulfonyl)cyclohexyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 338454-52-7, γ-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 60-56-0, 1-Methyl-1H-imidazole-2-thiol 62-53-3, Aniline, reactions 75-31-0, Isopropylamine, reactions 75-33-2, 2-Propanethiol 75-64-9, tert-Butylamine, reactions 75-66-1, 2-Methyl-2-propanethiol 98-02-2, (Furan-2-yl)methanethiol 100-53-8, Phenylmethanethiol 110-00-9, Furan 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 126-33-0, Tetramethylene sulfone 147-85-3, (S)-2-Carboxypyrrolidine, reactions 279-33-4, 5-Aza-2-oxabicyclo[2.2.1]heptane 344-25-2, (R)-2-Carboxypyrrolidine 371-42-6, 4-Fluorobenzenethiol 503-29-7, Azetidine 513-44-0 623-51-8, Ethyl mercaptoacetate 637-89-8, 4-Hydroxybenzenethiol 867-13-0, Ethyl 2-(diethoxyphosphinyl)acetate 872-35-5, 1H-Imidazole-2-thiol 873-55-2, Sodium phenylsulfinate 1121-24-0, 2-Hydroxybenzenethiol 1450-85-7, Pyrimidine-2-thiol 1569-69-3, Cyclohexanethiol 1679-07-8, Cyclopentanethiol 1689-02-7, Pyrrolidine-1-sulfonyl chloride 1828-66-6, (Morpholin-4-yl)sulfonyl chloride 1996-44-7, 2,4-Difluorobenzenethiol 2516-34-9, Cyclobutylamine 2557-78-0, 2-Fluorobenzenethiol 2577-48-2, (L)-Proline methyl ester 2637-34-5, Pyridine-2-thiol 3179-31-5, 1H-1,2,4-Triazole-3-thiol 4455-15-6, Ethyl 2-(methanesulfonyl)acetate 4556-23-4, Pyridine-4-thiol 5685-05-2, Thiazole-2-thiol 5685-06-3, 4-Methylthiazole-2-thiol 5862-40-8, 2-Mercaptoethyl acetate 6456-74-2, [(tert-Butoxycarbonyl)methyl]amine 7217-59-6, 2-Methoxybenzenethiol 7774-74-5, Thiophene-2-thiol 13183-79-4, 1-Methyl-1H-tetrazole-5-thiol 13384-48-0, Cyclobutylmagnesium bromide 16548-07-5, (Ethylamino)sulfonyl chloride 20739-39-3, 2-Aminoethyl acetate hydrochloride 21987-29-1,

4,4-Difluoropiperidine 23719-80-4, Cyclopropylmagnesium bromide 24854-43-1, 4-Methyl-4H-1,2,4-triazole-3-thiol 28588-74-1, 2-Methylfuran-3-thiol 29490-19-5, 5-Methyl-1,3,4-thiadiazole-2-thiol 33581-95-2, [(tert-Butyl)amino]sulfonyl chloride 39093-93-1, 1,1-Dioxothiomorpholine 40248-84-8, 3-Hydroxybenzenethiol 43041-12-9, (R)-2-(Methoxycarbonyl)pyrrolidine 45347-82-8, 3-Hydroxyazetidine 54044-11-0, 3-Oxoazetidine 67160-19-4, 3-(Methanesulfonyloxy)azetidine 74121-99-6, 3-Acetoxyazetidine 79869-58-2, Propanethiol 85117-99-3, 2,5-Difluorobenzyl bromide 94089-02-8, 1-(Thien-2-yl)ethanethiol 106877-33-2, 3-Amino-6-(trifluoromethyl)pyridine 109074-67-1, 2-(Trifluoromethyl)pyrrolidine 123427-86-1, 2,2-Bis(2-iodoethyl)-1,3-dioxolane 136725-54-7, (S)-3-Fluoropyrrolidine 175278-01-0, 2-(Trifluoromethoxy)benzenethiol 256931-54-1, 3-Hydroxy-3-methylazetidine 316131-01-8, 3,3-Difluoropyrrolidine 471903-20-5, 4-[(4-Chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanone 471903-58-9, [cis-4-[(4-Chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]amine 471903-60-3, 4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexanone 471903-67-0, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid ethyl ester 471903-69-2, [cis-4-(4-Chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid 471905-36-9, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]-N-methoxy-N-methylacetamide 500892-34-2, [cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]acetic acid 639519-67-8, Azetidine-1-sulfonyl chloride 679431-12-0, Iodo[cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]methane 679431-14-2, cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexanol 679431-51-7, (R)-3-Fluoropyrrolidine 679431-52-8, 3,3-Difluoroazetidine **679432-30-5**, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethanesulfonyl chloride 679433-03-5, 3,3-Difluoroazetidine-1-sulfonyl chloride 679433-04-6, 4-(Trifluoromethyl)piperidine-1-sulfonyl chloride 679433-05-7, (R)-3-[(tert-Butoxy)carbonyl]pyrrolidine-1-sulfonyl chloride 679433-06-8, (R)-3-Hydroxypyrrolidine-1-sulfonyl chloride 679433-07-9, 3-Oxopyrrolidine-1-sulfonyl chloride 679433-08-0, 3-Hydroxy-3-methylpyrrolidine-1-sulfonyl chloride 679433-09-1, 3-Hydroxyazetidine-1-sulfonyl chloride 679433-10-4, 3-Hydroxy-3-methylazetidine-1-sulfonyl chloride 679433-11-5, 3-(Dimethylamino)azetidine-1-sulfonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)

IT 471905-53-0P, cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexanol 471905-69-8P, 2-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethanol 677772-34-8P, [cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]amine 677772-35-9P, [cis-4-(2,5-Difluorophenyl)-4-[(6-trifluoromethylpyridin-3-yl)sulfonyl]cyclohexyl]amine 677772-36-0P, 6-(Trifluoromethyl)-3-pyridinethiol 677772-37-1P, 6-Trifluoromethylpyridin-3-yl 2,5-difluorobenzyl sulfone 677772-38-2P, 4-(6-Trifluoromethylpyridin-3-ylsulfonyl)-4-(2,5-difluorophenyl)cyclohexanone ethylene glycol cyclic ketal 677772-39-3P, 4-(6-Trifluoromethylpyridin-3-ylsulfonyl)-4-(2,5-difluorophenyl)cyclohexanone 679431-06-2P, Iodo[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methane 679431-07-3P, 2-Iodo-1-[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]ethane 679431-08-4P, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanethiol **679431-09-5P** 679431-10-8P, [[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl]amine **679431-11-9P** 679431-13-1P, 2-[cis-4-(2,5-Difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]ethanol **679431-15-3P**, Methanesulfonic acid 2-[cis-4-(2,5-difluorophenyl)-4-(4-trifluoromethylbenzenesulfonyl)cyclohexyl]ethyl ester **679431-16-4P**, [cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanesulfonyl chloride **679432-13-4P**, [[cis-4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methyl] trifluoromethyl sulfide 679432-15-6P **679432-17-8P**, 4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-1-[(methanesulfonyl)methyl]cyclohexanol 679432-37-2P, (2-Acetoxyethyl)sulfamoyl chloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Merck Frosst Canada; WO 0170677 A 2001 HCAPLUS
IT **679431-34-6P**, cis-1-(4-Chlorophenylsulfonyl)-1-(2,5-difluorophenyl)-4-[[[(R)-2-(methoxycarbonyl)pyrrolidin-1-yl]sulfonyl]methyl]cyclohexane
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aryl cyclohexyl sulfones as γ-secretase inhibitors useful against Alzheimer's disease)
RN 679431-34-6 HCAPLUS
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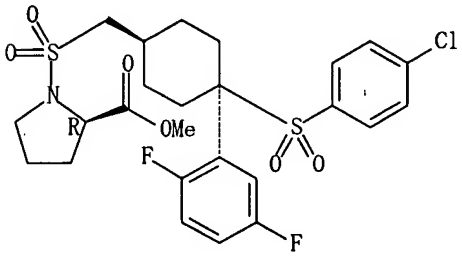
Absolute stereochemistry.



L15 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN **2003:173575** HCAPLUS
DN 138:221350
ED Entered STN: 07 Mar 2003
TI Preparation of 1-phenyl-1-(arylsulfonyl)cyclohexanes for treatment of Alzheimer's disease
IN Churcher, Ian; Dinnell, Kevin; Harrison, Timothy; Kerrad, Sonia; Nadin, Alan John; Oakley, Paul Joseph; Shaw, Duncan Edward; Teall, Martin Richard; Williams, Brian John; Williams, Susannah
PA Merck Sharp & Dohme Limited, UK
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C317-20
ICS C07D213-40; C07C317-44; C07D233-56; C07D211-46; C07D295-18; C07D211-60; A61K031-10; C07D211-62; A61P025-28
CC 25-12 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
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EP 1421062 A1 20040526 EP 2002-758542 20020816
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BR 2002011635 A 20040713 BR 2002-11635 20020816
JP 2005501120 T2 20050113 JP 2003-523207 20020816
PRAI GB 2001-20347 A 20010821
WO 2001-GB3741 W 20010821
GB 2001-8591 A 20010405
WO 2002-GB3806 W 20020816

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003018543	ICM	C07C317-20
	ICS	C07D213-40; C07C317-44; C07D233-56; C07D211-46; C07D295-18; C07D211-60; A61K031-10; C07D211-62; A61P025-28
JP 2005501120	FTERM	4C054/AA02; 4C054/CC04; 4C054/DD01; 4C054/EE01; 4C054/FF24; 4C055/AA01; 4C055/BA02; 4C055/BA28; 4C055/BB16; 4C055/CA01; 4C055/DA01; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/BC17; 4C086/BC21; 4C086/BC38; 4C086/BC50; 4C086/BC73; 4C086/BC88; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA16; 4C206/AA01; 4C206/AA02; 4C206/AA03; 4C206/JA19; 4C206/MA01; 4C206/MA04; 4C206/NA14; 4C206/ZA16; 4H006/AA01; 4H006/AA03; 4H006/AB21; 4H006/TA02; 4H006/TB52; 4H006/TC09

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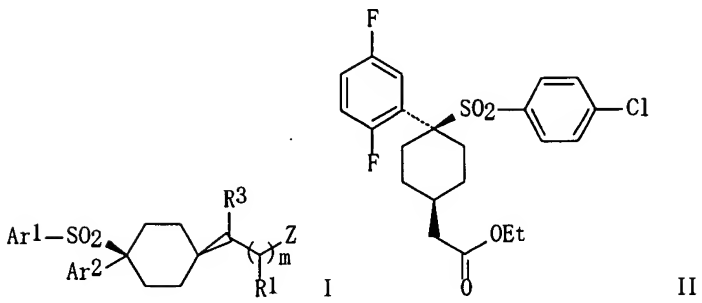


I II

AB Title sulfones I [wherein m = 0-1; Z = CN, OR2, CO2R2, or CON(R2)2; R1 = H, alkyl, or OH; R2 and R4 = independently H or (un)substituted alkyl, cycloalkyl(alkyl), alkenyl, or (hetero)aryl; or N(R2)2 or N(R4)2 = independently (un)substituted heterocyclyl; R3 = H or alkyl; or pharmaceutically acceptable salts thereof] were prepared For example, oxidative coupling of 4-chlorothiophenol with 2,5-difluorobenzyl bromide gave 1-[[(4-chlorophenyl)sulfonyl]methyl]-2,5-difluorobenzene. Reaction with Me acrylate and KOBu in THF, followed by heating to 150° for 2 h in a solution of DMSO, NaCl, and H2O afforded 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanone. Condensation of the ketone with Et (diethoxyphosphinyl)acetate in the presence of NaH in THF provided the alkylidene derivative (88%), which was reduced with NaBH4 to give (cis)-II (36%). I modulate the processing of amyloid precursor protein by γ-secretase and hence are useful in the treatment or prevention of Alzheimer's disease (no data).

ST phenyl arylsulfonyl cyclohexane prepn Alzheimers disease treatment; phenylcyclohexyl aryl sulfone prepn Alzheimers disease treatment

IT Alzheimer's disease
Anti-Alzheimer's agents
Human
(preparation of phenylcyclohexyl aryl sulfones for treatment of Alzheimer's disease)

IT 471903-67-0P 471903-69-2P 471905-10-9P 471905-37-0P 471905-40-5P 471905-41-6P 500892-28-4P 500892-34-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(anti-Alzheimer's agent; preparation of phenylcyclohexyl aryl sulfones for treatment of Alzheimer's disease)

IT **471903-70-5P 471903-72-7P 471903-73-8P 471903-74-9P** 471903-75-0P 471903-76-1P **471903-77-2P 471903-78-3P 471903-79-4P 471903-80-7P 471903-81-8P 471903-82-9P 471903-83-0P** 471904-78-6P 471904-79-7P 471904-80-0P 471904-81-1P 471904-82-2P 471904-83-3P 471904-84-4P 471904-85-5P 471904-86-6P **471904-87-7P** 471904-88-8P 471904-89-9P 471904-90-2P 471904-91-3P 471904-92-4P 471904-93-5P 471904-94-6P 471905-01-8P 471905-38-1P 471905-42-7P 471905-47-2P 471905-54-1P 500892-36-4P 500892-38-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-Alzheimer's agent; preparation of phenylcyclohexyl aryl sulfones for treatment of Alzheimer's disease)

IT 470716-51-9P 470716-52-0P 471903-19-2P 471903-20-5P 471903-41-0P **471903-56-7P** 471903-60-3P 471903-66-9P 471904-44-6P 471904-45-7P 471904-46-8P 471905-53-0P 471905-57-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylcyclohexyl aryl sulfones for treatment of Alzheimer's disease)

IT 92-54-6, 1-Phenylpiperazine 96-33-3, Methyl acrylate 106-54-7, 4-Chlorothiophenol 109-01-3, 1-Methylpiperazine 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 825-83-2, 4-(Trifluoromethyl)thiophenol 867-13-0, Ethyl (diethoxyphosphinyl)acetate 1126-09-6, Ethyl 4-piperidinecarboxylate 2605-67-6 2706-56-1, 2-(2-Aminoethyl)pyridine 5006-62-2, Ethyl 3-piperidinecarboxylate 5036-48-6, 1-(3-Aminopropyl)imidazole 5382-16-1, 4-Piperidinol 5680-79-5, Glycine methyl ester hydrochloride 25137-01-3, Ethyl (R)-3-piperidinecarboxylate 37675-18-6, Ethyl (S)-3-piperidinecarboxylate 85117-99-3, 2,5-Difluorobenzyl bromide 278789-72-3, Ethyl (S)-3-methyl-3-piperidinecarboxylate 297172-01-1, Ethyl (R)-3-methyl-3-piperidinecarboxylate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylcyclohexyl aryl sulfones for treatment of Alzheimer's disease)

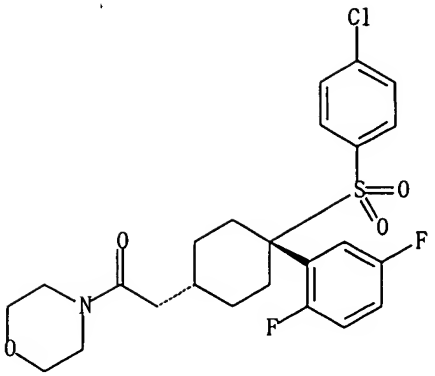
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
(1) Chaturvedula, P; US 5703129 A 1997 HCAPLUS
(2) Merck Frosst Canada; EP 0863134 A 1998 HCAPLUS

IT **471903-70-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-Alzheimer's agent; preparation of phenylcyclohexyl aryl sulfones for treatment of Alzheimer's disease)

RN 471903-70-5 HCAPLUS
CN Morpholine, 4-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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L16 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:995801 HCAPLUS
DN 141:410939
ED Entered STN: 19 Nov 2004
TI Preparation of bicyclic sulfonamides as inhibitors of γ-secretase for treatment of Alzheimer's disease.
IN Dinnell, Kevin; Harrison, Timothy; Nadin, Alan John; Owens, Andrew Pate; Shaw, Duncan Edward; Williams, Brian John
PA UK
SO U.S. Pat. Appl. Publ., 17 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D279-16
NCL 544049000
CC 28-14 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004230054	A1	20041118	US 2004-845833	20040514
	WO 2004101538	A1	20041125	WO 2004-GB1973	20040507
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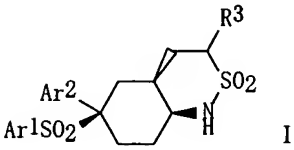
PRAI	GB 2003-11341	A	20030516		
	GB 2003-27055	A	20031120		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004230054	ICM	C07D279-16
	NCL	544049000
US 2004230054	ECLA	C07D279/02
WO 2004101539	ECLA	C07D279/02

OS MARPAT 141:410939

GI



I

AB Title compds. [I; R3 = H, (substituted) hydrocarbyl; R5 = H, alkyl; R6 = alkyl; Ar1, Ar2 = (substituted) Ph, heteroaryl], were prepared Thus, title compound (4aRS,6RS,8aSR)-6-(2,5-difluorophenyl)-6-[[4-(trifluoromethyl)phenyl]sulfonyl]octahydro-1H-2,1-benzothiazine dioxide was prepared in several steps from 4-[(4-trifluoromethylphenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanone. I showed ED50's of <0.5 μM.

ST bicyclic sulfonamide prepn gamma secretase inhibitor Alzheimers disease treatment; benzothiazine dioxide aryl arylsulfonyl prepn gamma secretase inhibitor antialzheimers

IT Anti-Alzheimer's agents
Human
(preparation of bicyclic sulfonamides as inhibitors of γ-secretase for treatment of Alzheimer's disease)

IT Alzheimer's disease
(treatment; preparation of bicyclic sulfonamides as inhibitors of γ-secretase for treatment of Alzheimer's disease)

IT 793672-69-2P 793672-70-5P 793672-71-6P 793672-72-7P 793672-73-8P 793672-74-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of bicyclic sulfonamides as inhibitors of γ-secretase for treatment of Alzheimer's disease)

IT 338454-52-7, γ-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of bicyclic sulfonamides as inhibitors of γ-secretase for treatment of Alzheimer's disease)

IT 75-03-6, Iodoethane 35432-36-1, Isobutanesulfonyl chloride 56210-72-1, (1S)-1-Phenyl-N-[(1S)-1-phenylethyl]ethanamine 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl chloride 471903-20-5 471903-60-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bicyclic sulfonamides as inhibitors of γ-secretase for treatment of Alzheimer's disease)

IT 793672-75-0P 793672-76-1P 793672-79-4P 793672-80-7P
793672-81-8P 793672-82-9P 793672-83-0P
793672-84-1P 793672-85-2P 793672-86-3P 793672-87-4P
793672-88-5P 793672-89-6P **793672-90-9P 793672-91-0P**
793672-92-1P 793672-93-2P 793672-94-3P 793672-95-4P
793672-96-5P 793672-98-7P 793672-99-8P
793673-00-4P 793673-01-5P 793673-02-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic sulfonamides as inhibitors of γ-secretase for treatment of Alzheimer's disease)

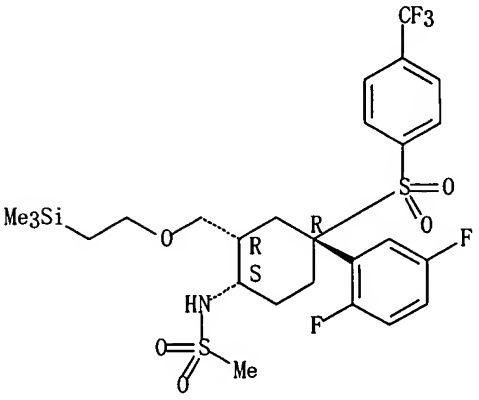
IT **793672-81-8P 793672-82-9P 793672-83-0P**
793672-84-1P 793672-90-9P 793672-91-0P
793672-96-5P 793672-98-7P 793672-99-8P
793673-00-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic sulfonamides as inhibitors of γ-secretase for

treatment of Alzheimer's disease)

RN 793672-81-8 HCAPLUS

CN Methanesulfonamide, N-[(1R,2S,4S)-4-(2,5-difluorophenyl)-4-[[4-(trifluoromethyl)phenyl]sulfonyl]-2-[[2-(trimethylsilyl)ethoxy]methyl]cyclohexyl]-, rel- (9CI) (CA INDEX NAME)

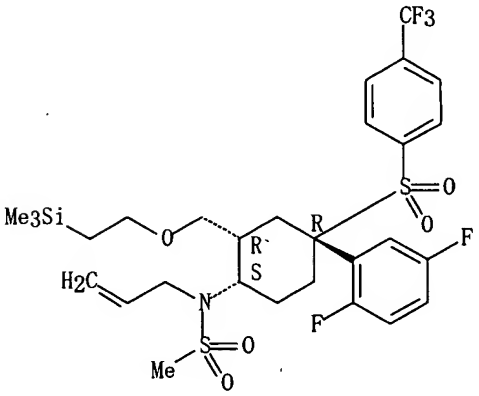
Relative stereochemistry.



RN 793672-82-9 HCAPLUS

CN Methanesulfonamide, N-[(1R,2S,4S)-4-(2,5-difluorophenyl)-4-[[4-(trifluoromethyl)phenyl]sulfonyl]-2-[[2-(trimethylsilyl)ethoxy]methyl]cyclohexyl]-N-2-propenyl-, rel- (9CI) (CA INDEX NAME)

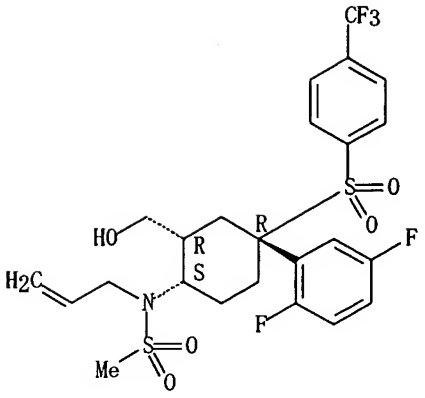
Relative stereochemistry.



RN 793672-83-0 HCAPLUS

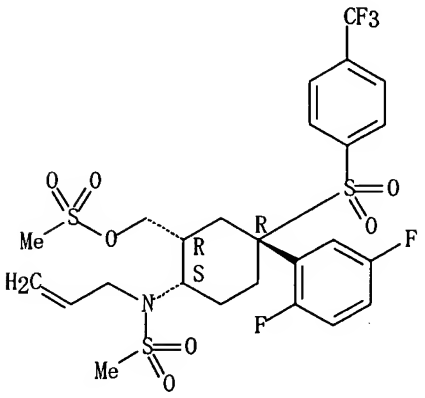
CN Methanesulfonamide, N-[(1R,2S,4S)-4-(2,5-difluorophenyl)-2-(hydroxymethyl)-4-[[4-(trifluoromethyl)phenyl]sulfonyl]cyclohexyl]-N-2-propenyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



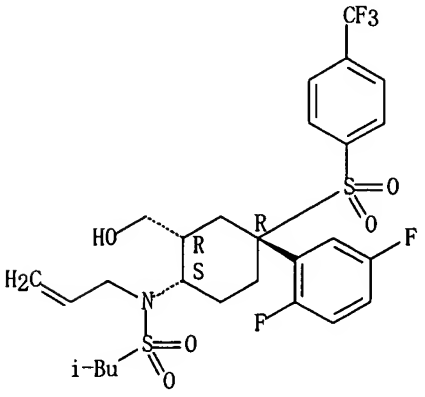
RN 793672-84-1 HCAPLUS
CN Methanesulfonamide, N-[(1R,2S,4S)-4-(2,5-difluorophenyl)-2-[[(methylsulfonyl)oxy]methyl]-4-[[4-(trifluoromethyl)phenyl]sulfonyl]cyclohexyl]-N-2-propenyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 793672-90-9 HCAPLUS
CN 1-Propanesulfonamide, N-[(1R,2S,4S)-4-(2,5-difluorophenyl)-2-(hydroxymethyl)-4-[[4-(trifluoromethyl)phenyl]sulfonyl]cyclohexyl]-2-methyl-N-2-propenyl-, rel- (9CI) (CA INDEX NAME)

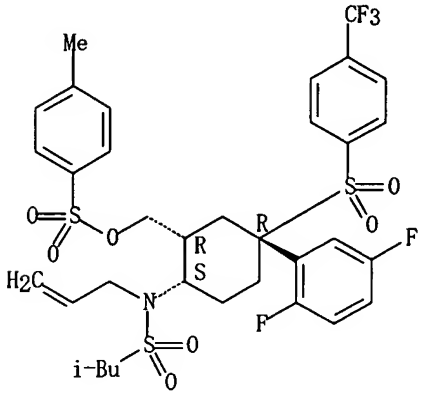
Relative stereochemistry.



RN 793672-91-0 HCAPLUS

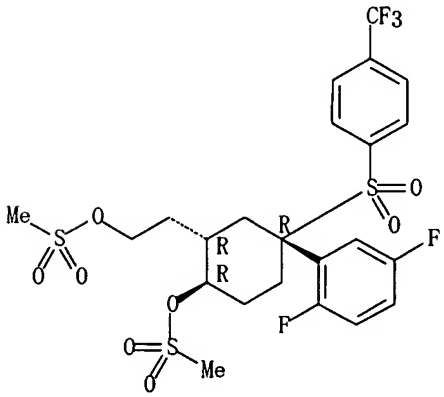
CN 1-Propanesulfonamide, N-[(1R,2S,4S)-4-(2,5-difluorophenyl)-2-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-4-[[4-(trifluoromethyl)phenyl]sulfonyl]cyclohexyl]-2-methyl-N-2-propenyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 793672-96-5 HCAPLUS
CN Cyclohexaneethanol, 5-(2,5-difluorophenyl)-2-[(methylsulfonyl)oxy]-5-[[4-(trifluoromethyl)phenyl]sulfonyl]-, methanesulfonate, (1R,2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

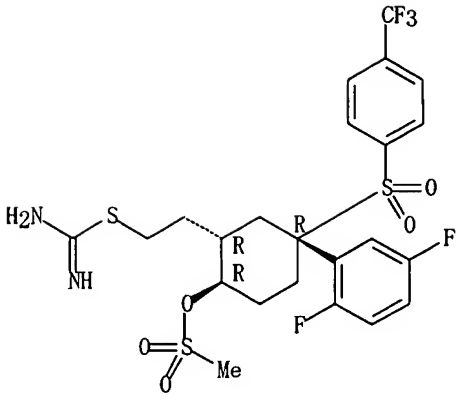


RN 793672-98-7 HCAPLUS
CN Carbamimidothioic acid, 2-[(1R,2R,5R)-5-(2,5-difluorophenyl)-2-[(methylsulfonyl)oxy]-5-[[4-(trifluoromethyl)phenyl]sulfonyl]cyclohexyl]ethyl ester, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

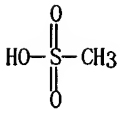
CRN 793672-97-6
CMF C23 H25 F5 N2 O5 S3

Absolute stereochemistry.



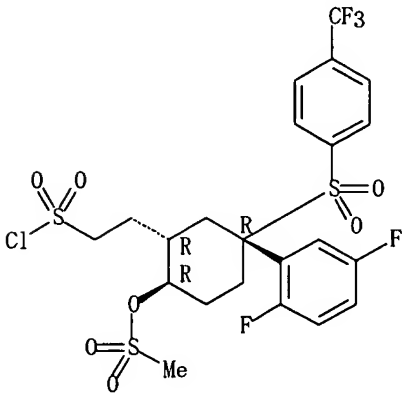
CM 2

CRN 75-75-2
CMF C H4 O3 S



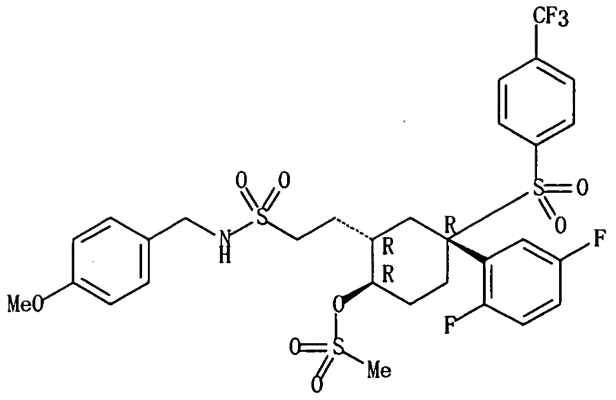
RN 793672-99-8 HCAPLUS
CN Cyclohexaneethanesulfonyl chloride, 5-(2,5-difluorophenyl)-2-[(methylsulfonyl)oxy]-5-[[4-(trifluoromethyl)phenyl]sulfonyl]-, (1R,2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 793673-00-4 HCAPLUS
CN Cyclohexaneethanesulfonamide, 5-(2,5-difluorophenyl)-N-[(4-methoxyphenyl)methyl]-2-[(methylsulfonyl)oxy]-5-[[4-(trifluoromethyl)phenyl]sulfonyl]-, (1R,2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:793593 HCAPLUS
DN 137:310695
ED Entered STN: 18 Oct 2002
TI Preparation of aryl sulfones which modulate the action of gamma secretase
IN Castro Pineiro, Jose Luis; Churcher, Ian; Dinnell, Kevin; Harrison, Timothy; Kerrad, Sonia; Nadin, Alan John; Oakley, Paul Joseph; Owens, Andrew Pate; Shaw, Duncan Edward; Teall, Martin Richard; Williams, Brian John; Williams, Susannah
PA Merck Sharp & Dohme Limited, UK
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C317-46
ICS C07C317-24; C07D295-08; C07C317-30; C07D211-62; C07D241-06; C07D211-18; C07D211-72; C07D217-20; C07D211-78; C07D207-24; C07D309-28; C07D295-18; C07D211-46; C07D211-42
CC 25-12 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
FAN.CNT 2

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PI	WO 2002081435	A1	20021017	WO 2001-GB3741	20010821
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	WO 2003018543	A1	20030306	WO 2002-GB3806	20020816
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BR	2002011635	A	20040713	BR 2002-11635	20020816
JP	2005501120	T2	20050113	JP 2003-523207	20020816
US	2003114496	A1	20030619	US 2002-223993	20020820
US	2004116404	A1	20040617	US 2003-473727	20031001
PRAI GB	2001-8591.	A	20010405		
GB	2001-20347	A	20010821		
WO	2001-GB3741	W	20010821		
WO	2002-GB3806	W	20020816		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002081435	ICM	C07C317-46
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JP 2004533428	FTERM	4C022/AA01; 4C022/FA02; 4C033/AD04; 4C033/AD17; 4C033/AD20; 4C036/AD08; 4C036/AD17; 4C036/AD27; 4C036/AD30; 4C037/HA23; 4C050/AA04; 4C050/AA07; 4C050/BB07; 4C050/CC17; 4C050/DD10; 4C050/EE01; 4C050/FF01; 4C050/FF05; 4C050/GG01; 4C050/GG05; 4C050/HH01; 4C054/AA02; 4C054/CC03; 4C054/CC04; 4C054/DD01; 4C054/EE01; 4C054/EE08; 4C054/EE24; 4C054/EE33; 4C054/FF01; 4C054/FF05; 4C054/FF11; 4C054/FF24; 4C054/FF27; 4C054/FF28; 4C054/FF33; 4C055/AA01; 4C055/BA01; 4C055/BA02; 4C055/BA27; 4C055/BA28; 4C055/BA42; 4C055/BB09; 4C055/CA01; 4C055/CA02; 4C055/CA42; 4C055/CB09; 4C055/DA01; 4C055/DA27; 4C055/DB09; 4C056/AA01; 4C056/AB01; 4C056/AB02; 4C056/AC02; 4C056/AC05; 4C056/AC07; 4C056/AD01; 4C056/AE01; 4C056/AE03; 4C056/BA01; 4C056/BA03; 4C056/BB04; 4C056/BB08; 4C056/BC03; 4C056/FA03; 4C056/FA12; 4C056/FB01; 4C056/FC01; 4C062/AA15; 4C062/AA20; 4C069/AA13; 4C069/AB12; 4C069/AC31; 4C069/BA01; 4C069/BA08; 4C069/BB05; 4C069/BB12; 4C069/BB28; 4C069/BC12; 4C069/BC18; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/BA03; 4C086/BA07; 4C086/BA13; 4C086/BC07; 4C086/BC17; 4C086/BC21; 4C086/BC36; 4C086/BC38; 4C086/BC50; 4C086/BC60; 4C086/BC62; 4C086/BC71; 4C086/BC73; 4C086/BC82; 4C086/BC85; 4C086/BC88; 4C086/CB22; 4C086/DA44; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA16; 4C086/ZC20; 4C206/AA01; 4C206/AA02; 4C206/AA03; 4C206/DB11; 4C206/DB43; 4C206/FA51; 4C206/JA19; 4C206/MA01; 4C206/MA04; 4C206/NA14; 4C206/ZA16; 4C206/ZC20; 4H006/AA01; 4H006/AA03; 4H006/AB20; 4H006/TA02; 4H006/TB32; 4H006/TB52; 4H006/TC06; 4H006/TC09; 4H006/TC10
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US 2004116404	ECLA	C07C317/14; C07D233/54C2D4; C07D241/18; C07D249/04; C07D249/08C2D; C07D249/08C2; C07D249/12; C07D257/04D2; C07D263/38; C07D263/44D; C07D271/06B; C07D277/26; C07D285/12B; C07D295/08A3; C07D295/08B1D4; C07D295/18B; C07D307/52; C07D309/08; C07D309/10; C07D317/48; C07D491/10+317B+221B; C07D521/00B1C3; C07D521/00B1N3; C07F007/08C6B; C07C317/20; C07C037/24; C07C317/30; C07C317/46; C07D207/12; C07D207/26B2; C07D207/40B1;

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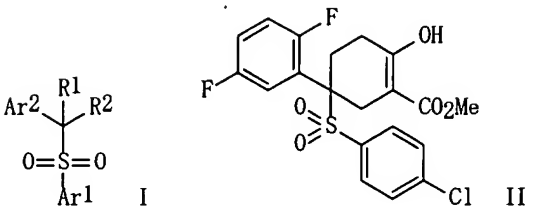
OS MARPAT 137:310695

GI



AB Title compds. I [R1 and R2 together from a (un)substituted saturated or unsatd. ring of 4-7 atoms of which at most 2 are selected from N, O, and S with the remaining being C; Ar1 and Ar2 independently equal (un)substituted aryl or heteroaryl] and their pharmaceutically acceptable salts are disclosed as modulators of gamma secretase (no data). Thus, II was prepared via condensation of 4-chlorothiophenol with 2,5-difluorobenzyl bromide, oxidation of intermediate thioether and subsequent cyclization with Me acrylate. As modulators of the action of g-secretase, I are useful in the treatment or prevention of Alzheimer's disease.

ST aryl sulfone prepn gamma secretase Alzheimer disease

IT Alzheimer's disease
Anti-Alzheimer's agents
Human
(preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

IT 471903-19-2P 471903-20-5P 471903-32-9P 471903-41-0P 471903-50-1P
471903-53-4P **471903-56-7P** 471903-57-8P 471903-59-0P
471903-60-3P 471903-63-6P **471903-64-7P** 471903-66-9P
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471903-78-3P **471903-79-4P** **471903-80-7P**
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471905-49-4P 471905-53-0P 471905-55-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

IT 471903-21-6P 471903-22-7P 471903-23-8P 471903-24-9P 471903-25-0P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

IT 338454-52-7, γ-Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

IT 471905-71-2P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

IT 65-85-0, Benzoic acid, reactions 75-31-0, Isopropyl amine, reactions
75-64-9, tert-Butylamine, reactions 92-54-6, N-Phenylpiperazine
96-32-2, Methyl bromoacetate 96-33-3, Methyl acrylate 98-01-1,
Furfuraldehyde, reactions 98-80-6, Phenyl boronic acid 100-39-0,
Benzyl bromide 100-46-9, Benzylamine, reactions 106-53-6,
4-Bromothiophenol 106-54-7, 4-Chlorothiophenol 106-89-8,
Epichlorohydrin, reactions 106-95-6, Allyl bromide, reactions
109-00-2, 3-Hydroxypyridine 109-01-3, N-Methylpiperazine 110-89-4,
Piperidine, reactions 110-91-8, Morpholine, reactions 111-24-0,
1,5-Dibromopentane 120-93-4, 2-Imidazolidinone 123-56-8,
2,5-Pyrrolidinedione 123-90-0, Thiomorpholine 142-08-5,
2-Hydroxypyridine 177-11-7, 1,4-Dioxa-8-azaspiro[4.5]decane 288-13-1,
Pyrazole 288-32-4, Imidazole, reactions 288-36-8, 1,2,3-Triazole
288-94-8, 1H-Tetrazole 446-48-0, 2-Fluorobenzyl bromide 461-72-3,
2,4-Imidazolidinedione 497-25-6, 2-Oxazolidinone 543-27-1,
Isobutylchloroformate 616-04-6 616-34-2, Methyl glycinate 616-45-5,
Pyrrolidin-2-one 622-33-3, Benzyloxyamine 645-65-8,
1H-Imidazole-4-acetic acid 657-36-3, 4-(Trifluoromethyl)piperidine
693-11-8, 4-Dimethylaminobutanoic acid 695-53-4 771-61-9,
Pentafluorophenol 825-83-2, 4-Trifluoromethylbenzenethiol 867-13-0,
Ethyl (diethoxyphosphinyl)acetate 1066-54-2, Trimethylsilylacetylene
1068-57-1, Acetic hydrazide 1118-68-9, -(Dimethylamino)acetic acid
1119-51-3, 5-Bromo-1-pentene 1126-09-6, Ethyl 4-piperidinecarboxylate

2516-47-4, Cyclopropylmethylamine 2706-56-1, 2-(2-Aminoethyl)pyridine 2971-79-1, Methyl 4-piperidinecarboxylate 3034-50-2, 4-Imidazolecarboxaldehyde 3878-55-5, Monomethyl succinate 5006-62-2, Ethyl 3-piperidinecarboxylate 5036-48-6, 1-(3-Aminopropyl)imidazole 5162-44-7, 4-Bromo-1-butene 5382-16-1, 4-Hydroxypiperidine 5414-19-7, 2-Bromoethyl ether 5625-67-2, Piperazinone 5680-79-5, Glycine methyl ester hydrochloride 5858-17-3, 3,4-Dichlorothiophenol 6542-54-7, Allyloxyamine 6859-99-0, 3-Hydroxypiperidine 7693-46-1, 4-Nitrophenyl chloroformate 19172-47-5, Lawesson's reagent 22059-22-9, Acetamidoxime 24686-78-0, N-Benzoyl-4-piperidone 25137-01-3 26371-07-3, 1-Piperidinepropanoic acid 28075-50-5 37477-16-0, tert-Butoxyamine 37675-18-6 41253-21-8, 1,2,4-Triazole sodium salt 50479-11-3, 3-(Ethoxycarbonyl)propyltriphenylphosphonium bromide 59801-62-6 85117-99-3, 2,5-Difluorobenzyl bromide 90917-86-5 93102-05-7 99725-12-9 118753-70-1 136118-56-4 170843-43-3 193353-34-3, 2,5-Difluorophenyl boronic acid 204376-55-6 278789-72-3 297172-01-1 442910-33-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD

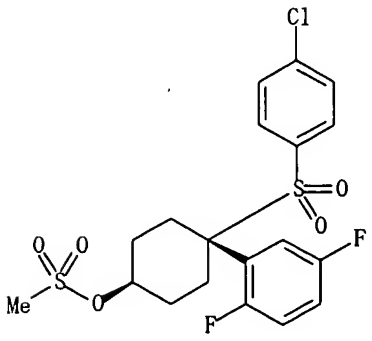
RE

(1) Capuano, L; CHEMISCHE BERICHTE 1979, V112(3), P1012 HCAPLUS
(2) Chaturvedula, P; US 5703129 A 1997 HCAPLUS
(3) Decesare, J; CANADIAN JOURNAL OF CHEMISTRY 1981, V59(10), P1415 HCAPLUS
(4) Dodson, R; US 2802013 A 1957 HCAPLUS
(5) Dodson, R; US 2812330 A 1957 HCAPLUS
(6) Eisleb, O; BERICHTE DER DEUTSCHEN CHEMISCHEN GESELLSCHAFT 1941, V74(8), P1433
(7) Golinski, J; SYNTHESIS 1979, 6, P461 HCAPLUS
(8) Kisanga, P; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 2000, V122(41), P10017 HCAPLUS
(9) Koradin, C; SYNLETT 2000, 10, P1452 HCAPLUS
(10) Merck Frosst Canada; EP 0863134 A 1998 HCAPLUS
(11) Okuyama, T; BULLETIN OF THE CHEMICAL SOCIETY OF JAPAN 1991, V64(9), P2751 HCAPLUS

IT **471903-56-7P 471903-64-7P 471903-77-2P 471903-78-3P 471903-79-4P 471903-80-7P 471903-81-8P 471903-82-9P 471903-83-0P 471905-44-9P 471905-45-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

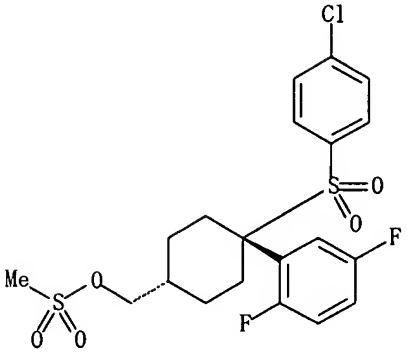
RN 471903-56-7 HCAPLUS
CN Cyclohexanol, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, methanesulfonate, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



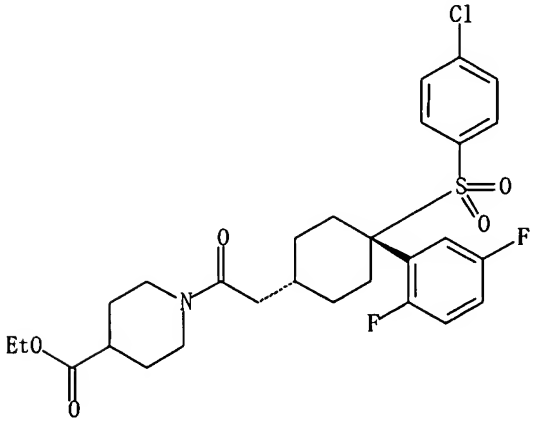
RN 471903-64-7 HCAPLUS
CN Cyclohexanemethanol, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, methanesulfonate, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



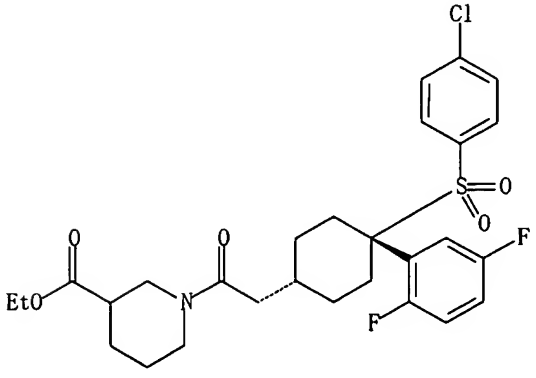
RN 471903-77-2 HCAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 471903-78-3 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

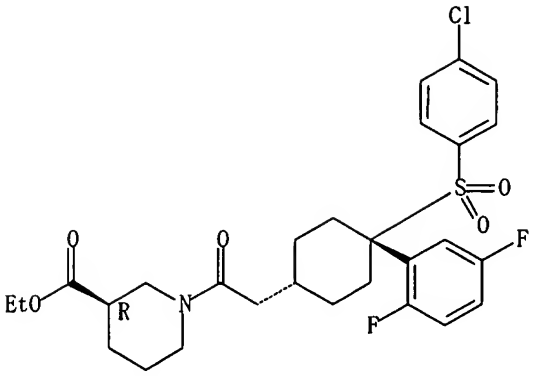
Relative stereochemistry.



RN 471903-79-4 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-, ethyl ester, (3R)- (9CI) (CA INDEX

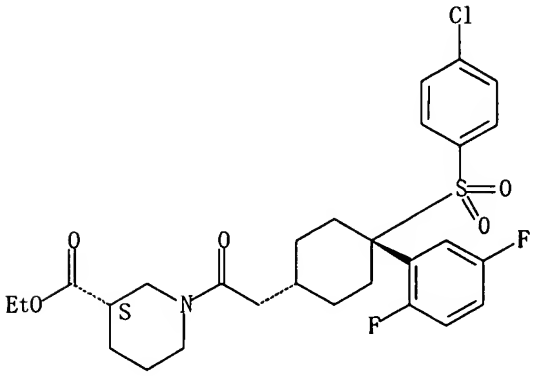
NAME)

Absolute stereochemistry.



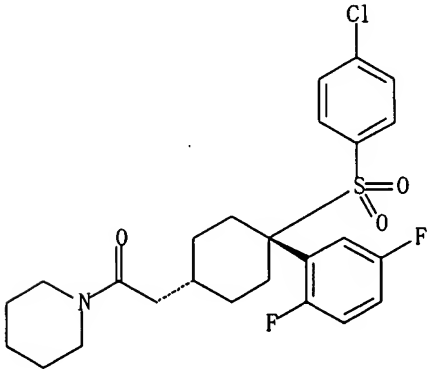
RN 471903-80-7 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 471903-81-8 HCAPLUS
CN Piperidine, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]- (9CI) (CA INDEX NAME)

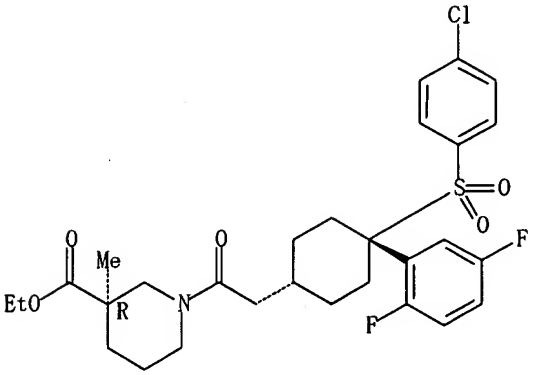
Relative stereochemistry.



RN 471903-82-9 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-

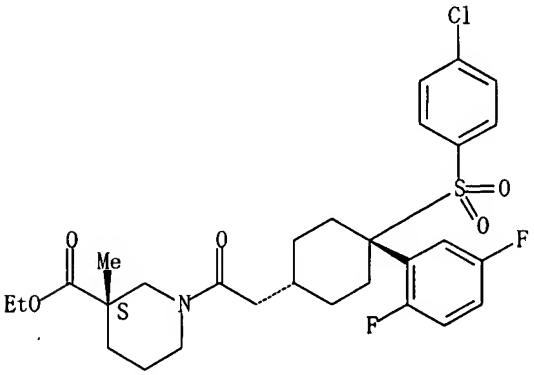
difluorophenyl)cyclohexyl]acetyl]-3-methyl-, ethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



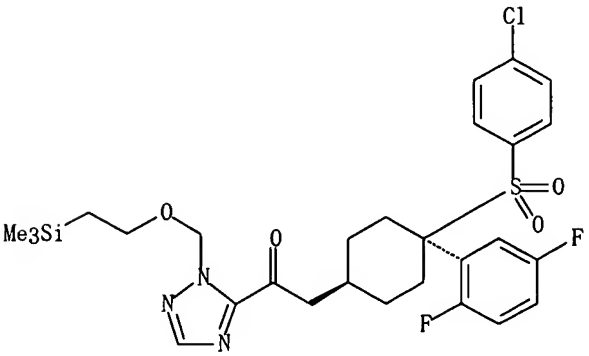
RN 471903-83-0 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-3-methyl-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 471905-44-9 HCAPLUS
CN Ethanone, 2-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]-1-[1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-1,2,4-triazol-5-yl]- (9CI) (CA INDEX NAME)

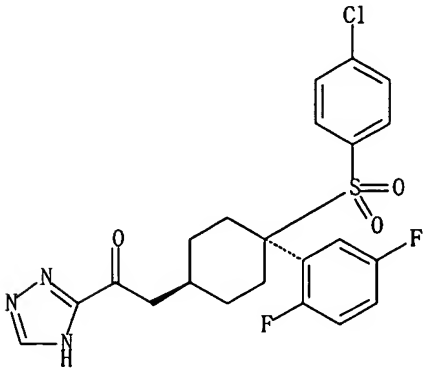
Relative stereochemistry.



RN 471905-45-0 HCAPLUS

CN Ethanone, 2-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]-1-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

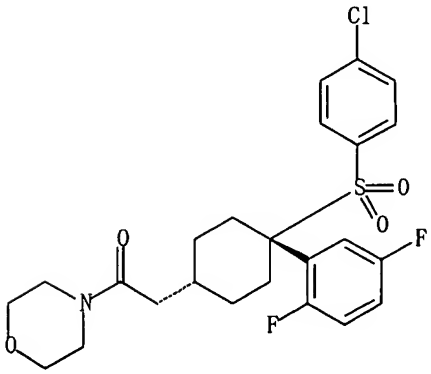


IT **471903-70-5P 471903-72-7P 471903-73-8P**
471903-74-9P 471903-84-1P 471903-85-2P
471903-86-3P 471903-87-4P 471903-88-5P
471903-89-6P 471904-13-9P 471904-20-8P
471904-21-9P 471904-22-0P 471904-23-1P
471904-24-2P 471904-25-3P 471904-87-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

RN 471903-70-5 HCAPLUS

CN Morpholine, 4-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]- (9CI) (CA INDEX NAME)

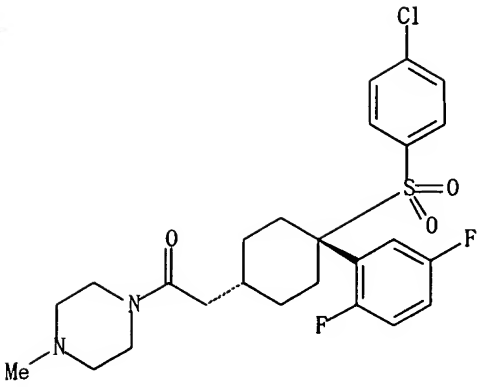
Relative stereochemistry.



RN 471903-72-7 HCAPLUS

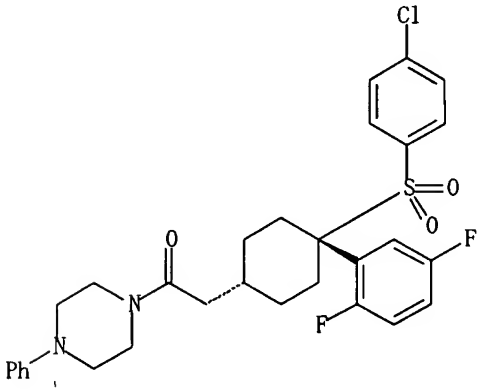
CN Piperazine, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



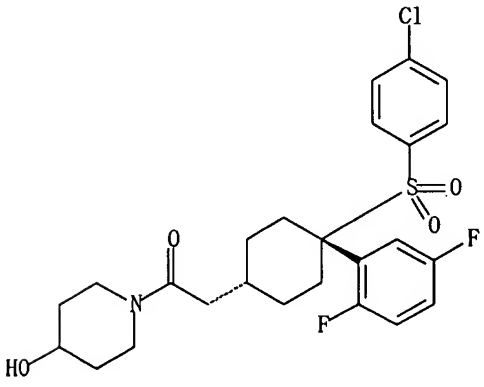
RN 471903-73-8 HCAPLUS
CN Piperazine, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-4-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



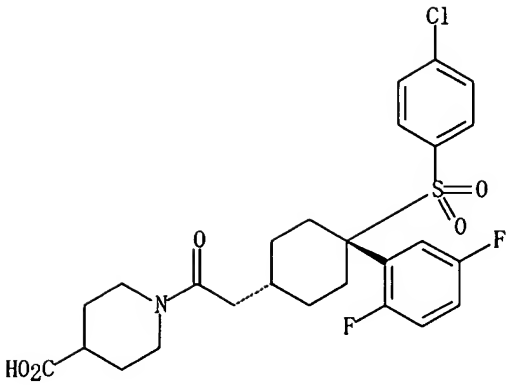
RN 471903-74-9 HCAPLUS
CN 4-Piperidinol, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



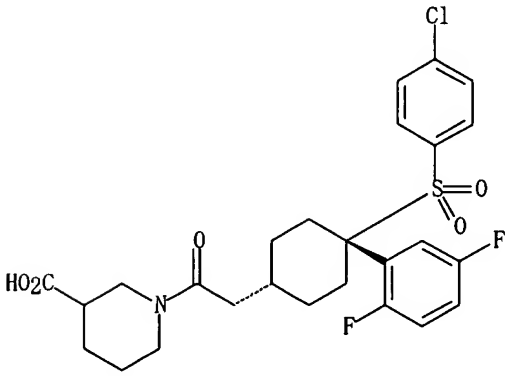
RN 471903-84-1 HCAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



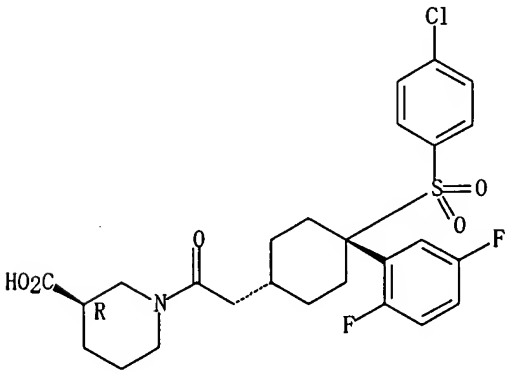
RN 471903-85-2 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



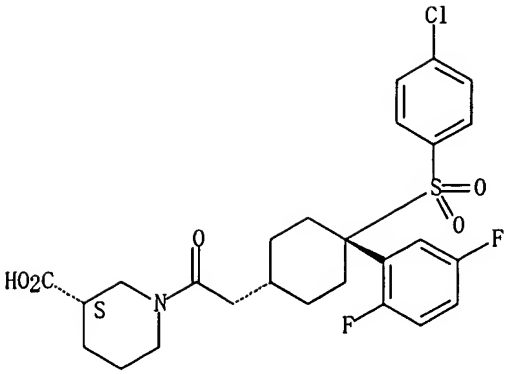
RN 471903-86-3 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



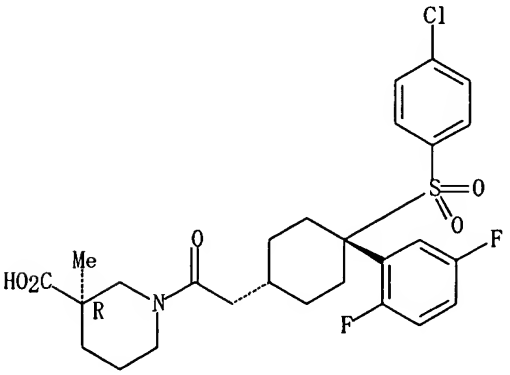
RN 471903-87-4 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



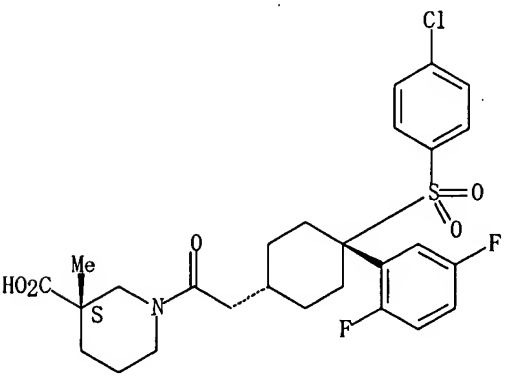
RN 471903-88-5 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-3-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



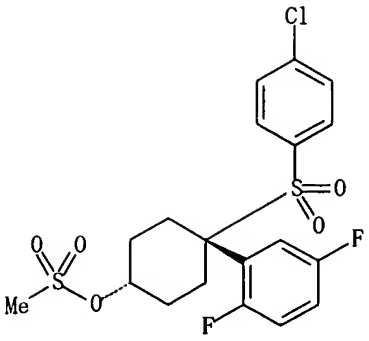
RN 471903-89-6 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-3-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



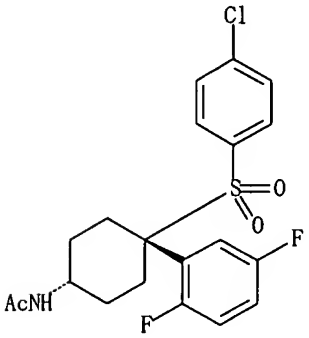
RN 471904-13-9 HCAPLUS
CN Cyclohexanol, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, methanesulfonate, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



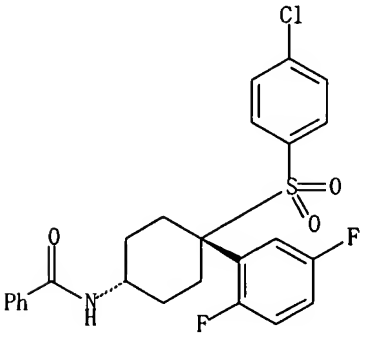
RN 471904-20-8 HCAPLUS
CN Acetamide, N-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



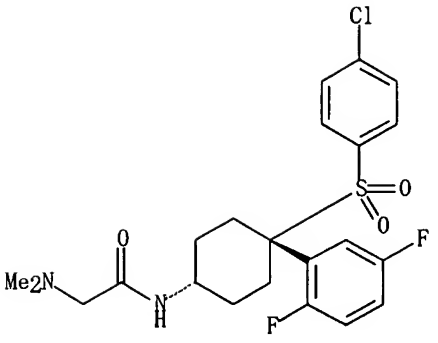
RN 471904-21-9 HCAPLUS
CN Benzamide, N-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



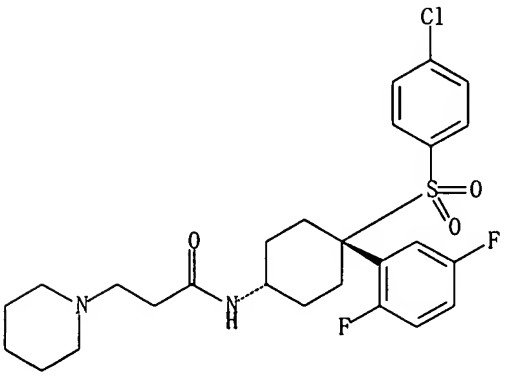
RN 471904-22-0 HCAPLUS
CN Acetamide, N-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



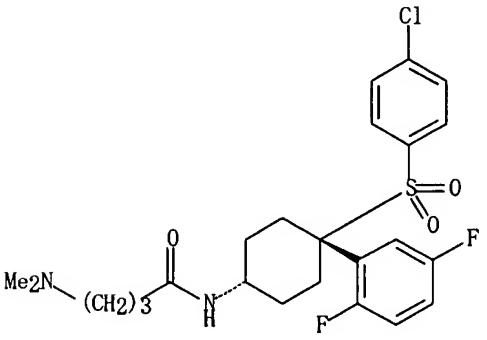
RN 471904-23-1 HCAPLUS
CN 1-Piperidinepropanamide, N-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



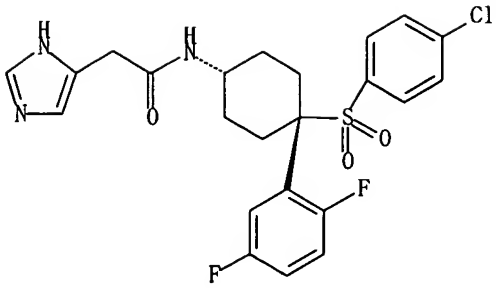
RN 471904-24-2 HCAPLUS
CN Butanamide, N-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]-4-(dimethylamino)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



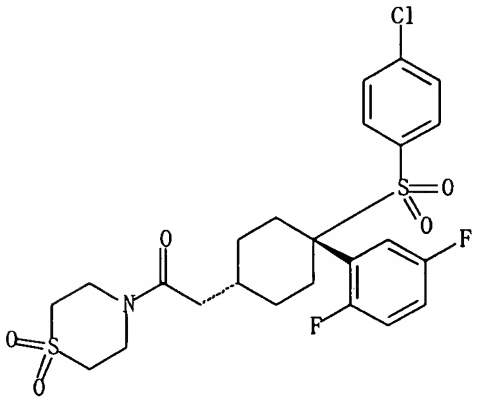
RN 471904-25-3 HCAPLUS
CN 1H-Imidazole-4-acetamide, N-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 471904-87-7 HCAPLUS
CN Thiomorpholine, 4-[[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]acetyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

Relative stereochemistry.



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